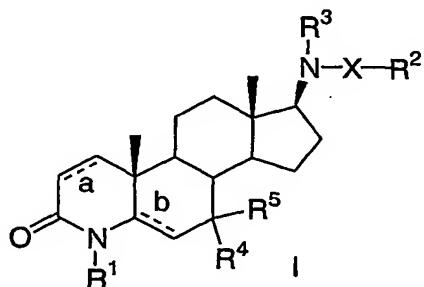


WHAT IS CLAIMED IS:

1. A method for modulating the androgen receptor in a tissue selective manner in a patient in need of such modulation comprising administering a therapeutically effective amount of a compound of structural formula I:



wherein:

“a” and “b” are independently selected from a single bond and a double bond;
X is selected from:

- 10 (A) $-C(O)-$,
 (B) $-C(O)-O-$,
 (C) $-C(O)-N(R^7)-$, and
 (D) $-S(O)_n-$;

R^1 is selected from:

- 15 (A) C_{1-3} alkyl,
 (B) C_{2-3} alkenyl,
 (C) C_{3-6} cycloalkyl,
 (D) C_{1-3} alkyl wherein one or more of the hydrogen atoms has been replaced with a fluorine atom,
 20 (E) aryl, and
 (F) aryl- C_{1-3} alkyl;

R^2 is selected from:

- (A) aryl, either unsubstituted or substituted with one to three substituents selected from:
 (1) halogen,
 (2) aryl,
 (3) C_{1-8} alkyl,
 (4) C_{3-8} cycloalkyl,

- (5) C₃-8 cycloheteroalkyl,
- (6) aryl C₁-6alkyl,
- (7) amino C₀-6alkyl,
- (8) C₁-6 alkylamino C₀-6alkyl,
- 5 (9) (C₁-6 alkyl)₂amino C₀-6alkyl,
- (10) aryl C₀-6 alkylamino C₀-6alkyl,
- (11) (aryl C₀-6 alkyl)₂amino C₀-6alkyl,
- (12) C₁-6 alkylthio,
- 10 (13) aryl C₀-6alkylthio,
- (14) C₁-6 alkylsulfinyl,
- (15) aryl C₀-6alkylsulfinyl,
- (16) C₁-6 alkylsulfonyl,
- (17) aryl C₀-6alkylsulfonyl,
- (18) C₁-6 alkoxy C₀-6alkyl,
- 15 (19) aryl C₀-6 alkoxy C₀-6alkyl,
- (20) hydroxycarbonyl C₀-6alkyl,
- (21) C₁-6 alkoxycarbonyl C₀-6alkyl,
- (22) aryl C₀-6 alkoxycarbonyl C₀-6alkyl,
- (23) hydroxycarbonyl C₁-6 alkyloxy,
- 20 (24) hydroxy C₀-6alkyl,
- (25) cyano,
- (26) nitro,
- (27) perfluoroC₁-4alkyl,
- (28) perfluoroC₁-4alkoxy,
- 25 (29) C₁-6 alkylcarbonyloxy,
- (30) aryl C₀-6alkylcarbonyloxy,
- (31) alkyl C₁-6 carbonylamino,
- (32) aryl C₀-6 alkylcarbonylamino,
- (33) C₁-6 alkylsulfonylamino,
- 30 (34) aryl C₀-6alkylsulfonylamino,
- (35) C₁-6 alkoxycarbonylamino,
- (36) aryl C₀-6 alkoxycarbonylamino,
- (37) C₁-6alkylaminocarbonylamino,
- (38) aryl C₀-6alkylaminocarbonylamino,
- 35 (39) (C₁-6alkyl)₂ aminocarbonylamino,

- (40) (aryl C₀₋₆alkyl)₂ aminocarbonylamino,
(41) (C₁₋₆alkyl)₂ aminocarbonyloxy,
(42) C₀₋₆ alkyl carbonyl C₀₋₆ alkyl,
(43) aryl C₀₋₆ alkyl carbonyl C₀₋₆ alkyl, and
5 (44) (aryl C₀₋₆alkyl)₂ aminocarbonyloxy;

(B) C₁₋₈ alkyl, unsubstituted or substituted with one to three substituents independently selected from:

- (1) halogen,
(2) C₁₋₈ alkyl,
10 (3) C₃₋₈ cycloalkyl,
(4) C₃₋₈ cycloheteroalkyl,
(5) amino,
(6) C₁₋₆ alkylamino,
(7) (C₁₋₆ alkyl)₂amino,
15 (8) aryl C₀₋₆ alkylamino,
(9) (aryl C₀₋₆ alkyl)₂amino,
(10) C₁₋₆ alkylthio,
(11) aryl C₀₋₆alkylthio,
(12) C₁₋₆ alkylsulfinyl,
20 (13) aryl C₀₋₆alkylsulfinyl,
(14) C₁₋₆ alkylsulfonyl,
(15) aryl C₀₋₆alkylsulfonyl,
(16) C₁₋₆ alkoxy,
(17) aryl C₀₋₆ alkoxy,
25 (18) hydroxycarbonyl,
(19) C₁₋₆ alkoxy carbonyl,
(20) aryl C₀₋₆ alkoxy carbonyl,
(21) hydroxycarbonyl C₁₋₆ alkyloxy,
(22) hydroxy,
30 (23) cyano,
(24) nitro,
(25) perfluoroC₁₋₄alkyl,
(26) perfluoroC₁₋₄alkoxy,
(27) oxo,
35 (28) C₁₋₆ alkylcarbonyloxy,

- (29) aryl C₀₋₆alkylcarbonyloxy,
(30) alkyl C₁₋₆ carbonylamino,
(31) aryl C₀₋₆ alkylcarbonylamino,
5 (32) C₁₋₆ alkylsulfonylamino,
(33) aryl C₀₋₆alkylsulfonylamino,
(34) C₁₋₆ alkoxy carbonylamino,
(35) aryl C₀₋₆ alkoxy carbonylamino,
10 (36) C₁₋₆alkylaminocarbonylamino,
(37) aryl C₀₋₆alkylaminocarbonylamino,
(38) (C₁₋₆alkyl)₂ aminocarbonylamino,
(39) (aryl C₀₋₆alkyl)₂ aminocarbonylamino,
15 (40) (C₁₋₆alkyl)₂ aminocarbonyloxy,
(41) (aryl C₀₋₆alkyl)₂ aminocarbonyloxy, and
(42) spiro-C₃₋₈cycloalkyl;
- 15 (C) perfluoroC₁₋₆ alkyl,
(D) aryl-C₁₋₆ alkyl-, wherein aryl is unsubstituted or substituted with 1 to 3
20 substituents independently selected from:
(1) halogen,
(2) C₁₋₈ alkyl,
25 (3) C₃₋₈ cycloalkyl,
(4) aryl,
(5) aryl C₁₋₃ alkyl-,
(6) amino,
(7) amino C₁₋₆ alkyl-,
30 (8) C₁₋₃ acylamino,
(9) C₁₋₃ acylamino C₁₋₆ alkyl,
(10) C₁₋₆ alkylamino,
(11) C₁₋₆ alkylamino C₁₋₆ alkyl,
(12) di(C₁₋₆) alkylamino,
35 (13) di(C₁₋₆) alkylamino-C₁₋₆ alkyl,
(14) C₁₋₄ alkoxy,
(15) C₁₋₄ alkylthio,
(16) C₁₋₄ alkylsulfinyl,
(17) C₁₋₄ alkylsulfonyl,
(18) C₁₋₄ alkoxy C₁₋₆ alkyl,

- (19) hydroxycarbonyl,
 - (20) hydroxycarbonyl C₁₋₆ alkyl,
 - (21) C₁₋₅ alkoxycarbonyl,
 - (22) C₁₋₃ alkoxycarbonyl C₁₋₆ alkyl,
 - (23) hydroxycarbonyl C₁₋₆ alkyloxy,
 - (24) hydroxy,
 - (25) hydroxy C₁₋₆ alkyl,
 - (26) cyano,
 - (27) nitro,
 - (28) trifluoromethyl,
 - (29) trifluoromethoxy,
 - (30) C₁₋₅ alkylcarbonyloxy;

and wherein alkyl is substituted with one to three substituents selected from:

- (1) halogen,
 - (2) C₃-8 cycloalkyl,
 - (3) C₃-8 cycloheteroalkyl,
 - (4) amino,
 - (5) C₁-6 alkylamino,
 - (6) (C₁-6 alkyl)₂amino,
 - (7) aryl C₀-6 alkylamino,
 - (8) (aryl C₀-6 alkyl)₂amino,
 - (9) C₁-6 alkylthio,
 - (10) aryl C₀-6 alkylthio,
 - (11) C₁-6 alkylsulfinyl,
 - (12) aryl C₀-6 alkylsulfinyl,
 - (13) C₁-6 alkylsulfonyl,
 - (14) aryl C₀-6 alkylsulfonyl,
 - (15) C₁-6 alkoxy,
 - (16) aryl C₀-6 alkoxy,
 - (17) hydroxycarbonyl,
 - (18) C₁-6 alkoxycarbonyl,
 - (19) aryl C₀-6 alkoxycarbonyl,
 - (20) hydroxycarbonyl C₁-6 alkyloxy,
 - (21) hydroxy,
 - (22) cyano.

- (23) nitro,
 - (24) trifluoroalkyl,
 - (25) trifluoroalkoxy,
 - (26) oxo,
 - 5 (27) C₁₋₆ alkylcarbonyloxy,
 - (28) aryl C₀₋₆ alkylcarbonyloxy,
 - (29) C₁₋₆ alkyl carbonylamino,
 - (30) aryl C₀₋₆ alkylcarbonylamino,
 - (31) C₁₋₆ alkylsulfonylamino,
 - 10 (32) aryl C₀₋₆ alkylsulfonylamino,
 - (33) C₁₋₆ alkoxy carbonylamino,
 - (34) aryl C₀₋₆ alkoxy carbonylamino,
 - (35) C₁₋₆ alkylaminocarbonylamino,
 - (36) aryl C₀₋₆ alkylaminocarbonylamino,
 - 15 (37) (C₁₋₆ alkyl)₂ aminocarbonylamino,
 - (38) (aryl C₀₋₆ alkyl)₂ aminocarbonylamino,
 - (39) (C₁₋₆ alkyl)₂ aminocarbonyloxy,
 - (40) (aryl C₀₋₆ alkyl)₂ aminocarbonyloxy, and
 - (41) spiro-C₃₋₈ cycloalkyl;
- 20 (E) C₂₋₈ alkenyl, unsubstituted or substituted with one to three substituents independently selected from:
- (1) halogen,
 - (2) C₁₋₈ alkyl,
 - (3) C₃₋₈ cycloalkyl,
 - 25 (4) C₃₋₈ cycloheteroalkyl,
 - (5) amino,
 - (6) C₁₋₆ alkylamino,
 - (7) (C₁₋₆ alkyl)₂ amino,
 - (8) aryl C₀₋₆ alkylamino,
 - 30 (9) (aryl C₀₋₆ alkyl)₂ amino,
 - (10) C₁₋₆ alkylthio,
 - (11) aryl C₀₋₆ alkylthio,
 - (12) C₁₋₆ alkylsulfinyl,
 - (13) aryl C₀₋₆ alkylsulfinyl,
 - 35 (14) C₁₋₆ alkylsulfonyl,

- (15) aryl C₀₋₆alkylsulfonyl,
(16) C₁₋₆ alkoxy,
(17) aryl C₀₋₆ alkoxy,
5 (18) hydroxycarbonyl,
(19) C₁₋₆ alkoxycarbonyl,
(20) aryl C₀₋₆ alkoxycarbonyl,
(21) hydroxycarbonyl C₁₋₆ alkyloxy,
(22) hydroxy,
10 (23) cyano,
(24) nitro,
(25) perfluoroC₁₋₄alkyl,
(26) perfluoroC₁₋₄alkoxy,
(27) oxo,
(28) C₁₋₆ alkylcarbonyloxy,
15 (29) aryl C₀₋₆alkylcarbonyloxy,
(30) alkyl C₁₋₆ carbonylamino,
(31) aryl C₀₋₆ alkylcarbonylamino,
(32) C₁₋₆ alkylsulfonylamino,
20 (33) aryl C₀₋₆alkylsulfonylamino,
(34) C₁₋₆ alkoxycarbonylamino,
(35) aryl C₀₋₆ alkoxycarbonylamino,
(36) C₁₋₆alkylaminocarbonylamino,
25 (37) aryl C₀₋₆alkylaminocarbonylamino,
(38) (C₁₋₆alkyl)₂ aminocarbonylamino,
(39) (aryl C₀₋₆alkyl)₂ aminocarbonylamino,
(40) (C₁₋₆alkyl)₂ aminocarbonyloxy,
30 (41) (aryl C₀₋₆alkyl)₂ aminocarbonyloxy, and
(42) spiro-C₃₋₈cycloalkyl;
- (F) aryl C₂₋₈ alkenyl, wherein aryl is unsubstituted or substituted with one to three substituents independently selected from:
- 35 (1) halogen,
(2) C₁₋₈ alkyl,
(3) C₃₋₈ cycloalkyl,
(4) aryl,
(5) aryl C₁₋₃ alkyl-,

- (6) amino,
- (7) amino C₁₋₆ alkyl-,
- (8) C₁₋₃ acylamino,
- (9) C₁₋₃ acylamino C₁₋₆ alkyl,
- 5 (10) C₁₋₆ alkylamino,
- (11) C₁₋₆ alkylamino C₁₋₆ alkyl,
- (12) di(C₁₋₆) alkylamino,
- (13) di(C₁₋₆) alkylamino-C₁₋₆ alkyl,
- (14) C₁₋₄ alkoxy,
- 10 (15) C₁₋₄ alkylthio,
- (16) C₁₋₄ alkylsulfinyl,
- (17) C₁₋₄ alkylsulfonyl,
- (18) C₁₋₄ alkoxy C₁₋₆ alkyl,
- (19) hydroxycarbonyl,
- 15 (20) hydroxycarbonyl C₁₋₆ alkyl,
- (21) C₁₋₅ alkoxycarbonyl,
- (22) C₁₋₃ alkoxycarbonyl C₁₋₆ alkyl,
- (23) hydroxycarbonyl C₁₋₆ alkyloxy,
- (24) hydroxy,
- 20 (25) hydroxy C₁₋₆ alkyl,
- (26) cyano,
- (27) nitro,
- (28) trifluoromethyl,
- (29) trifluoromethoxy, and
- 25 (30) C₁₋₅ alkylcarbonyloxy;
- (G) C₃₋₈ cycloalkyl, either unsubstituted or substituted with one to 3 substituents selected from:
 - (1) halogen,
 - (2) aryl,
 - 30 (3) C₁₋₈ alkyl,
 - (4) C₃₋₈ cycloalkyl,
 - (5) C₃₋₈ cycloheteroalkyl,
 - (6) aryl C₁₋₆alkyl,
 - (7) amino C₀₋₆alkyl,
 - 35 (8) C₁₋₆ alkylamino C₀₋₆alkyl,

- (9) (C_{1-6} alkyl) $_2$ amino C_{0-6} alkyl,
(10) aryl C_{0-6} alkylamino C_{0-6} alkyl,
(11) (aryl C_{0-6} alkyl) $_2$ amino C_{0-6} alkyl,
5 (12) C_{1-6} alkylthio,
(13) aryl C_{0-6} alkylthio,
(14) C_{1-6} alkylsulfinyl,
(15) aryl C_{0-6} alkylsulfinyl,
(16) C_{1-6} alkylsulfonyl,
10 (17) aryl C_{0-6} alkylsulfonyl,
(18) C_{1-6} alkoxy C_{0-6} alkyl,
(19) aryl C_{0-6} alkoxy C_{0-6} alkyl,
(20) hydroxycarbonyl C_{0-6} alkyl,
15 (21) C_{1-6} alkoxycarbonyl C_{0-6} alkyl,
(22) aryl C_{0-6} alkoxycarbonyl C_{0-6} alkyl,
(23) hydroxycarbonyl C_{1-6} alkyloxy,
(24) hydroxy C_{0-6} alkyl,
20 (25) cyano,
(26) nitro,
(27) perfluoro C_{1-4} alkyl,
(28) perfluoro C_{1-4} alkoxy,
(29) oxo,
(30) C_{1-6} alkylcarbonyloxy,
25 (31) aryl C_{0-6} alkylcarbonyloxy,
(32) alkyl C_{1-6} carbonylamino,
(33) aryl C_{0-6} alkylcarbonylamino,
(34) C_{1-6} alkylsulfonylamino,
30 (35) aryl C_{0-6} alkylsulfonylamino,
(36) C_{1-6} alkoxycarbonylamino,
(37) aryl C_{0-6} alkoxycarbonylamino,
(38) C_{1-6} alkylaminocarbonylamino,
(39) aryl C_{0-6} alkylaminocarbonylamino,
35 (40) (C_{1-6} alkyl) $_2$ aminocarbonylamino,
(41) (aryl C_{0-6} alkyl) $_2$ aminocarbonylamino,
(42) (C_{1-6} alkyl) $_2$ aminocarbonyloxy,
(43) (aryl C_{0-6} alkyl) $_2$ aminocarbonyloxy,

- (44) C 0-6 alkylcarbonyl C 0-6 alky, and
(45) spiro-C3-8cycloalkyl;
- (H) cycloheteroalkyl, unsubstituted or substituted with one to three substituents selected from:
- 5 (1) halogen,
(2) aryl,
(3) C₁-8 alkyl,
(4) C₃-8 cycloalkyl,
(5) C₃-8 cycloheteroalkyl,
- 10 (6) aryl C₁-6alkyl,
(7) amino C₀-6alkyl,
(8) C₁-6 alkylamino C₀-6alkyl,
(9) (C₁-6 alkyl)₂amino C₀-6alkyl,
(10) aryl C₀-6 alkylamino C₀-6alkyl,
- 15 (11) (aryl C₀-6 alkyl)₂amino C₀-6alkyl,
(12) C₁-6 alkylthio,
(13) aryl C₀-6alkylthio,
(14) C₁-6 alkylsulfinyl,
(15) aryl C₀-6alkylsulfinyl,
- 20 (16) C₁-6 alkylsulfonyl,
(17) aryl C₀-6alkylsulfonyl,
(18) C₁-6 alkoxy C₀-6alkyl,
(19) aryl C₀-6 alkoxy C₀-6alkyl,
(20) hydroxycarbonyl C₀-6alkyl,
- 25 (21) C₁-6 alkoxycarbonyl C₀-6alkyl,
(22) aryl C₀-6 alkoxycarbonyl C₀-6alkyl,
(23) hydroxycarbonyl C₁-6 alkyloxy,
(24) hydroxy C₀-6alkyl,
(25) cyano,
- 30 (26) nitro,
(27) perfluoroC₁-4alkyl,
(28) perfluoroC₁-4alkoxy,
(29) oxo,
(30) C₁-6 alkylcarbonyloxy,
- 35 (31) aryl C₀-6alkylcarbonyloxy,

- (32) alkyl C₁₋₆ carbonylamino,
- (33) aryl C₀₋₆ alkylcarbonylamino,
- (34) C₁₋₆ alkylsulfonylamino,
- (35) aryl C₀₋₆alkylsulfonylamino,
- 5 (36) C₁₋₆ alkoxy carbonylamino,
- (37) aryl C₀₋₆ alkoxy carbonylamino,
- (38) C₁₋₆alkylaminocarbonylamino,
- (39) aryl C₀₋₆alkylaminocarbonylamino,
- (40) (C₁₋₆alkyl)₂ aminocarbonylamino,
- 10 (41) (aryl C₀₋₆alkyl)₂ aminocarbonylamino,
- (42) (C₁₋₆alkyl)₂ aminocarbonyloxy,
- (43) (aryl C₀₋₆alkyl)₂ aminocarbonyloxy, and
- (44) spiro-C₃₋₈cycloalkyl;

provided that any heteroatom substituent is bonded to a carbon atom in the
15 cycloheteroalkyl ring;

R³ is selected from H, perfluoro C₁₋₈ alkyl, and C₁₋₈ alkyl, unsubstituted or substituted with one to three halogen atoms, or R² and R³, together with the nitrogen atom, and the "X" moiety to which they are attached, form a 5- to 7-membered heterocyclic ring, optionally containing one or two additional heteroatoms selected from N, S, and O, optionally having one or more degrees of unsaturation, optionally fused to a 6-membered heteroaromatic or aromatic ring, either unsubstituted or substituted with one to three substituents selected from:

- (1) halogen,
- (2) aryl,
- (3) C₁₋₈ alkyl,
- 25 (4) C₃₋₈ cycloalkyl,
- (5) C₃₋₈ cycloheteroalkyl,
- (6) aryl C₁₋₆alkyl,
- (7) amino C₀₋₆alkyl,
- (8) C₁₋₆ alkylamino C₀₋₆alkyl,
- 30 (9) (C₁₋₆ alkyl)₂amino C₀₋₆alkyl,
- (10) aryl C₀₋₆ alkylamino C₀₋₆alkyl,
- (11) (aryl C₀₋₆ alkyl)₂amino C₀₋₆alkyl,
- (12) C₁₋₆ alkylthio,
- (13) aryl C₀₋₆alkylthio,
- 35 (14) C₁₋₆ alkylsulfinyl,

- (15) aryl C₀₋₆alkylsulfinyl,
(16) C₁₋₆ alkylsulfonyl,
(17) aryl C₀₋₆alkylsulfonyl,
5 (18) C₁₋₆ alkoxy C₀₋₆alkyl,
(19) aryl C₀₋₆ alkoxy C₀₋₆alkyl,
(20) hydroxycarbonyl C₀₋₆alkyl,
(21) C₁₋₆ alkoxycarbonyl C₀₋₆alkyl,
10 (22) aryl C₀₋₆ alkoxycarbonyl C₀₋₆alkyl,
(23) hydroxycarbonyl C₁₋₆ alkyloxy,
(24) hydroxy C₀₋₆alkyl,
(25) cyano,
(26) nitro,
(27) perfluoroC₁₋₄alkyl,
15 (28) perfluoroC₁₋₄alkoxy,
(29) oxo,
(30) C₁₋₆ alkylcarbonyloxy,
(31) aryl C₀₋₆alkylcarbonyloxy,
(32) C₁₋₆ alkyl carbonylamino,
20 (33) aryl C₀₋₆ alkylcarbonylamino,
(34) C₁₋₆ alkylsulfonylamino,
(35) aryl C₀₋₆alkylsulfonylamino,
(36) C₁₋₆ alkoxycarbonylamino,
25 (37) aryl C₀₋₆ alkoxycarbonylamino,
(38) C₁₋₆alkylaminocarbonylamino,
(39) aryl C₀₋₆alkylaminocarbonylamino,
(40) (C₁₋₆alkyl)₂ aminocarbonylamino,
30 (41) (aryl C₀₋₆alkyl)₂ aminocarbonylamino,
(42) (C₁₋₆alkyl)₂ aminocarbonyloxy,
(43) (aryl C₀₋₆alkyl)₂ aminocarbonyloxy, and
(44) spiro-C₃₋₈cycloalkyl,

provided that any heteroatom substituent is bonded to a carbon atom in the heterocyclic ring;

R⁴ and R⁵ are each independently selected from

- 35 (1) hydrogen,
(2) halogen,

- (3) aryl,
- (4) C₁₋₈ alkyl,
- (5) C₃₋₈ cycloalkyl,
- (6) C₃₋₈ cycloheteroalkyl,
- 5 (7) aryl C₁₋₆alkyl,
- (8) amino C₀₋₆alkyl,
- (9) C₁₋₆ alkylamino C₀₋₆alkyl,
- (10) (C₁₋₆ alkyl)₂amino C₀₋₆alkyl,
- (11) aryl C₀₋₆ alkylamino C₀₋₆alkyl,
- 10 (12) (aryl C₀₋₆ alkyl)₂amino C₀₋₆alkyl,
- (13) C₁₋₆ alkylthio,
- (14) aryl C₀₋₆alkylthio,
- (15) C₁₋₆ alkylsulfinyl,
- (16) aryl C₀₋₆alkylsulfinyl,
- 15 (17) C₁₋₆ alkylsulfonyl,
- (18) aryl C₀₋₆alkylsulfonyl,
- (19) C₁₋₆ alkoxy C₀₋₆alkyl,
- (20) aryl C₀₋₆ alkoxy C₀₋₆alkyl,
- (21) hydroxycarbonyl C₀₋₆alkyl,
- 20 (22) C₁₋₆ alkoxycarbonyl C₀₋₆alkyl,
- (23) aryl C₀₋₆ alkoxycarbonyl C₀₋₆alkyl,
- (24) hydroxycarbonyl C₁₋₆ alkyloxy,
- (25) hydroxy C₀₋₆alkyl,
- (26) cyano,
- 25 (27) nitro,
- (28) perfluoroC₁₋₄alkyl,
- (29) perfluoroC₁₋₄alkoxy,
- (30) C₁₋₆ alkylcarbonyloxy,
- (31) aryl C₀₋₆alkylcarbonyloxy,
- 30 (32) C₁₋₆ alkylcarbonylamino,
- (33) aryl C₀₋₆ alkylcarbonylamino,
- (34) C₁₋₆ alkylsulfonylamino,
- (35) aryl C₀₋₆alkylsulfonylamino,
- (36) C₁₋₆ alkoxycarbonylamino,
- 35 (37) aryl C₀₋₆ alkoxycarbonylamino,

- (38) C₁₋₆alkylaminocarbonylamino,
 - (39) aryl C₀₋₆alkylaminocarbonylamino,
 - (40) (C₁₋₆alkyl)₂ aminocarbonylamino,
 - (41) (aryl C₀₋₆alkyl)₂ aminocarbonylamino,
 - 5 (42) (C₁₋₆alkyl)₂ aminocarbonyloxy,
 - (44) (aryl C₀₋₆alkyl)₂ aminocarbonyloxy, and
 - (45) spiro-C₃₋₈cycloalkyl;
- or, R⁴ and R⁵ together form an oxo group or =CH-R⁶ or a spiro C 3-7 cycloalkyl ring substituted with R⁶;

10 R⁶ is selected from hydrogen and C₁₋₄ alkyl;

R⁷ is selected from hydrogen, perfluoro C₁₋₈ alkyl, and C₁₋₈ alkyl, unsubstituted or substituted with one to three halogen atoms.

n is selected from: 0, 1, and 2;

and pharmaceutically acceptable salts thereof.

15

2. The method according to Claim 1, wherein:

“b” is a single bond, and “a” is a double bond;

X is selected from:

- (A) -C(O)-,
- 20 (B) -C(O)-O-,
- (C) -C(O)-N(R⁷)-, and
- (D) -S(O)_n-;

R¹ is methyl;

R² is selected from:

25 (A) aryl, substituted by one substituents selected from:

- (1) fluoro,
- (2) chloro,
- (3) bromo,
- (4) methyl,
- 30 (5) methoxy,
- (6) ethoxy,
- (7) hydroxy,
- (8) trifluoromethyl,
- (9) trifluoromethoxy, and
- (10) acetyl;

- (B) C₁₋₆ alkyl, unsubstituted or substituted with one or two substituents independently selected from:
- (1) fluoro,
(2) chloro,
5 (3) cyano,
(4) methoxy,
(5) hydroxy, and
(6) trifluoromethyl;
- (C) trifluoromethyl;
- 10 (D) phenyl-C₁₋₆ alkyl-, wherein phenyl is unsubstituted or substituted with one or two substituents independently selected from:
- (1) halogen,
(2) methyl,
(3) C₁₋₂ alkoxy,
15 (4) hydroxy,
(5) nitro,
(6) trifluoromethyl, and
(7) trifluoromethoxy;.
- (E) C₂₋₃ alkenyl;
- 20 (F) phenyl C₂alkenyl, wherein phenyl is unsubstituted or substituted with a substituent selected from:
- (1) halogen,
(2) methyl, and
(3) trifluoromethyl;
- 25 (G) cycloheteroalkyl, either unsubstituted or substituted with one or two substituents selected from:
- (1) fluoro,
(2) phenyl,
(3) C₁₋₄ alkyl,
30 (4) C₁₋₃ alkoxy,
(5) hydroxy,
(6) trifluoromethyl,
(7) oxo, and
(8) spiro C₃₋₈ cycloalkyl;
- 35 provided that any heteroatom substituent is bonded to a carbon atom in the cycloheteroalkyl ring;

R³ is hydrogen;
R⁴ and R⁵ are each hydrogen;
R⁶ is hydrogen;
R⁷ is hydrogen,
5 n is 2;
and pharmaceutically acceptable salts thereof.

10 3. The method according to Claim 1 wherein the androgen receptor is antagonized in the prostate of a male patient or in the uterus of a female patient and agonized in bone or muscle tissue.

4. The method according to Claim 1 wherein modulating the androgen receptor in a tissue selective manner comprises agonizing the androgen receptor.

15 5. A method of treating a condition which is caused by androgen deficiency or which can be ameliorated by androgen administration selected from: osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, HIV-wasting, cancer cachexia, bone fracture, bone damage following bone reconstructive surgery, muscular dystrophies, sarcopenia, frailty, aging skin, male hypogonadism, post-menopausal symptoms in
20 women, female sexual dysfunction, premature ovarian failure, autoimmune disease, atherosclerosis, hypercholesterolemia, hyperlipidemia, aplastic anemia and other hematopoietic disorders, pancreatic cancer, renal cancer, arthritis and joint repair, in a patient in need of such treatment, comprising modulating the androgen receptor in said patient according to the method of Claim 1.

25

6. The method according to Claim 5 wherein the condition is osteoporosis.

7. The method according to Claim 6 wherein:

“b” is a single bond, and “a” is a double bond;
30 X is selected from:

- (A) -C(O)-,
- (B) -C(O)-O-,
- (C) -C(O)-N(R⁷)-, and
- (D) -S(O)_n-;

35 R¹ is methyl;

R² is selected from:

- (A) aryl, substituted by one substituents selected from:
- (1) fluoro,
 - (2) chloro,
 - 5 (3) bromo,
 - (4) methyl,
 - (5) methoxy,
 - (6) ethoxy,
 - (7) hydroxy,
 - 10 (8) trifluoromethyl,
 - (9) trifluoromethoxy, and
 - (10) acetyl;
- (B) C₁-6 alkyl, unsubstituted or substituted with one or two substituents independently selected from:
- (1) fluoro,
 - (2) chloro,
 - (3) cyano,
 - (4) methoxy,
 - (5) hydroxy, and
 - 20 (6) trifluoromethyl;
- (C) trifluoromethyl;
- (D) phenyl-C₁-6 alkyl-, wherein phenyl is unsubstituted or substituted with one or two substituents independently selected from:
- (1) halogen,
 - (2) methyl,
 - 25 (3) C₁-2 alkoxy,
 - (4) hydroxy,
 - (5) nitro,
 - (6) trifluoromethyl, and
 - (7) trifluoromethoxy;.
- 30 (E) C₂-3 alkenyl;
- (F) phenyl C₂alkenyl, wherein phenyl is unsubstituted or substituted with a substituent selected from:
- (1) halogen,
 - 35 (2) methyl, and

(3) trifluoromethyl;

- (G) cycloheteroalkyl, either unsubstituted or substituted with one or two substituents selected from:
- (1) fluoro,
 - 5 (2) phenyl,
 - (3) C₁₋₄ alkyl,
 - (4) C₁₋₃ alkoxy,
 - (5) hydroxy,
 - (6) trifluoromethyl,
 - 10 (7) oxo, and
 - (8) spiro C₃₋₈ cycloalkyl;

provided that any heteroatom substituent is bonded to a carbon atom in the cycloheteroalkyl ring;

R³ is hydrogen;

R⁴ and R⁵ are each hydrogen;

15 R⁶ is hydrogen;

R⁷ is hydrogen,

n is 2;

and pharmaceutically acceptable salts thereof.

20 8. The method according to Claim 7 wherein the compound is selected from:

- (1) 4-methyl-17 β -(2-trifluoromethylbenzamido)-4-aza-5 α -androst-1-ene-3-one;
- (2) 4-methyl-17 β -(3-trifluoromethylbenzamido)-4-aza-5 α -androst-1-ene-3-one;
- (3) 4-methyl-17 β -(2-methoxybenzamido)-4-aza-5 α -androst-1-ene-3-one;
- (4) 4-methyl-17 β -(3-methoxybenzamido)-4-aza-5 α -androst-1-ene-3-one;
- 25 (5) 4-methyl-17 β -(4-methoxybenzamido)-4-aza-5 α -androst-1-ene-3-one;
- (6) 4-methyl-17 β -(4-cyanobenzamido)-4-aza-5 α -androst-1-ene-3-one;
- (7) 4-methyl-17 β -(2-chloro-pyrid-3-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
- (8) 4-methyl-17 β -(pyrid-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
- (9) 4-methyl-17 β -(pyrid-4-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
- 30 (10) 4-methyl-17 β -(4-(carboxymethyl)benzamido)-4-aza-5 α -androst-1-ene-3-one;
- (11) 4-methyl-17 β -(pyrid-3-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
- (12) 4-methyl-17 β -(2-fluorobenzamido)-4-aza-5 α -androst-1-ene-3-one;
- (13) 4-methyl-17 β -(3-fluorobenzamido)-4-aza-5 α -androst-1-ene-3-one;
- (14) 4-methyl-17 β -(4-fluorobenzamido)-4-aza-5 α -androst-1-ene-3-one;
- 35 (15) 4-methyl-17 β -(2,4-difluorobenzamido)-4-aza-5 α -androst-1-ene-3-one;

- (16) 4-methyl-17 β -(4-chlorobutyramido)-4-aza-5 α -androst-1-ene-3-one;
(17) 4-methyl-17 β -(4-bromobutyramido)-4-aza-5 α -androst-1-ene-3-one;
(18) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]- 2-bromoethyl ester;
(19) 4-methyl-17 β -(2-methylpropamido)-4-aza-5 α -androst-1-ene-3-one;
5 (20) 4-methyl-17 β -(2-methoxyacetamido)-4-aza-5 α -androst-1-ene-3-one;
(21) 4-methyl-17 β -(cyclopropamido)-4-aza-5 α -androst-1-ene-3-one;
(22) 4-methyl-17 β -(acetamido)-4-aza-5 α -androst-1-ene-3-one;
(23) 4-methyl-17 β -(trifluoroacetamido)-4-aza-5 α -androst-1-ene-3-one;
(24) 4-methyl-17 β -(3,3,3-trifluoropropionamido)-4-aza-5 α -androst-1-ene-3-one;
10 (25) 4-methyl-17 β -(2-cyanoacetamido)-4-aza-5 α -androst-1-ene-3-one;
(26) 4-methyl-17 β -(2-methyl-2-hydroxypropamido)-4-aza-5 α -androst-1-ene-3-one;
(27) 4-methyl-17 β -(thiazo-4-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(28) 4-methyl-17 β -(pyrimid-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
15 (29) 4-methyl-17 β -(pyrimid-4-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(30) 4-methyl-17 β -(oxazo-5-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(31) 4-methyl-17 β -(1-methyl-imidazo-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(32) 4-methyl-17 β -(furan-3-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
20 (33) 4-methyl-17 β -(furan-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(34) 4-methyl-17 β -(thiophene-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(35) 4-methyl-17 β -(thiophene-3-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(36) 4-methyl-17 β -(pyridazin-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
25 (37) 4-methyl-17 β -(5-methyl-pyridin-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(38) 4-methyl-17 β -(5-chloro-pyridin-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(39) 4-methyl-17 β -(quinoline-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
30 (40) 4-methyl-17 β -(quinoline-8-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(41) 4-methyl-17 β -(isoquinoline-8-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(42) 4-methyl-17 β -(2-chlorobenzamido)-4-aza-5 α -androst-1-ene-3-one;
(43) 4-methyl-17 β -(3-chlorobenzamido)-4-aza-5 α -androst-1-ene-3-one;
35 (44) 4-methyl-17 β -(4-chlorobenzamido)-4-aza-5 α -androst-1-ene-3-one;
(45) 4-methyl-17 β -(formamido)-4-aza-5 α -androst-1-ene-3-one;
(46) 4-methyl-17 β -[(2-trifluoromethylphenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(47) 4-methyl-17 β -[(4-trifluoromethylphenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(48) 4-methyl-17 β -[(2-chlorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(49) 4-methyl-17 β -[(3-chlorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
35 (50) 4-methyl-17 β -[(4-chlorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;

- (51) 4-methyl-17 β -[(2,4-dichlorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(52) 4-methyl-17 β -[(3-fluorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(53) 4-methyl-17 β -[(4-fluorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(54) 4-methyl-17 β -[(2-methoxyphenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
5 (55) 4-methyl-17 β -[(3-methoxyphenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(56) 4-methyl-17 β -[(2,5-dimethoxyphenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(57) 4-methyl-17 β -[(3,5-difluorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(58) 4-methyl-17 β -[(3-nitrophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(59) 4-methyl-17 β -(tetrahydrofuran-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
10 (60) 4-methyl-17 β -(tetrahydrofuran-3-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(61) 4-methyl-17 β -(4-ethyl-pyridin-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(62) 4-methyl-17 β -(3-methyl-pyridin-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(63) 4-methyl-17 β -(3-bromo-pyridin-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(64) 4-methyl-17 β -(4-bromo-pyridin-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
15 (65) 4-methyl-17 β -[(2-phenylcyclopropyl)amido]-4-aza-5 α -androst-1-ene-3-one;
(66) 4-methyl-17 β -[(2-fluorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(67) 4-methyl-17 β -[(pyrid-2-yl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(68) 4-methyl-17 β -[(pyrid-3-yl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(69) 4-methyl-17 β -[(4-methoxyphenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
20 (70) 4-methyl-17 β -[3-(2-fluorophenyl)propionamido]-4-aza-5 α -androst-1-ene-3-one;
(71) 4-methyl-17 β -[3-(4-fluorophenyl)propionamido]-4-aza-5 α -androst-1-ene-3-one;
(72) 4-methyl-17 β -[3-(4-rifluoromethylphenyl)propionamido]-4-aza-5 α -androst-1-ene-3- one;
(73) 4-methyl-17 β -[3-(2-chlorophenyl)propionamido]-4-aza-5 α -androst-1-ene-3-one;
(74) 4-methyl-17 β -[3-(3-chlorophenyl)propionamido]-4-aza-5 α -androst-1-ene-3-one;
25 (75) 4-methyl-17 β -[3-(4-chlorophenyl)propionamido]-4-aza-5 α -androst-1-ene-3-one;
(76) 4-methyl-17 β -[2-trifluoromethylcinnamido]-4-aza-5 α -androst-1-ene-3-one;
(77) 4-methyl-17 β -[2-chlorocinnamido]-4-aza-5 α -androst-1-ene-3-one;
(78) 4-methyl-17 β -[2-fluorocinnamido]-4-aza-5 α -androst-1-ene-3-one;
(79) 4-methyl-17 β -[4-(2,5-dichlorophenyl)butanamido]-4-aza-5 α -androst-1-ene-3-one;
30 (80) 4-methyl-17 β -[4-(2-nitrophenyl)butanamido]-4-aza-5 α -androst-1-ene-3-one;
(81) 4-methyl-17 β -[4-(3,4-dimethoxyphenyl)butanamido]-4-aza-5 α -androst-1-ene-3-one;
(82) 4-methyl-17 β -[propionamido]-4-aza-5 α -androst-1-ene-3-one;
(83) 4-methyl-17 β -[butyramido]-4-aza-5 α -androst-1-ene-3-one;
(84) 4-methyl-17 β -[(2-methyl)cyclopropamido]-4-aza-5 α -androst-1-ene-3-one;
35 (85) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-phenyl ester;

- (86) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-chlorophenyl ester;
- (87) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-nitrophenyl ester;
- (88) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-methylphenyl ester;
- (89) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-bromophenyl ester;
- 5 (90) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-fluorophenyl ester;
- (91) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-methoxophenyl ester;
- (92) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-nitrophenyl ester;
- (93) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-naphthyl ester;
- (94) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-
- 10 trifluoromethylphenyl ester;
- (95) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-ethyl ester;
- (96) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-benzyl ester;
- (97) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2,2,2-trifluoroethyl ester;
- 15 (98) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-methoxyethyl ester;
- (99) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-(2,2-dimethylpropyl) ester;
- (100) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-fluoroethyl ester;
- (101) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-allyl ester;
- 20 (102) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-methyl ester;
- (103) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-propynoic ester;
- (104) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-(2-methyl-2-butyl) ester;
- 25 (105) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-(trifluoromethyl)phenyl ester;
- (106) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-(trifluoromethyl)phenyl ester;
- (107) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-fluorophenyl ester;
- (108) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-fluorophenyl ester;
- 30 (109) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-(2-hydroxy-1-ethyl) ester;
- (110) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-methoxyphenyl ester;
- (111) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-methoxyphenyl ester;
- (112) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-ethoxyphenyl ester;
- 35 (113) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-ethoxyphenyl ester;

- (114) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-ethoxyphenyl ester;
(115) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-chlorophenyl ester;
(116) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-chlorophenyl ester;
(117) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-
5 (trifluoromethoxy)phenyl ester;
(118) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-
(trifluoromethoxy)phenyl ester;
(119) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-propyl ester;
(120) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-propyl ester;
10 (121) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-butyl ester;
(122) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-hexyl ester;
(123) 4-methyl-17 β -(phenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
(124) 4-methyl-17 β -(2-trifluoromethylphenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
(125) 4-methyl-17 β -(3-trifluoromethylphenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
15 (126) 4-methyl-17 β -(2-chlorophenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
(127) 4-methyl-17 β -(3-chlorophenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
(128) 4-methyl-17 β -(2-trifluoromethoxyphenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
(129) 4-methyl-17 β -(2-cyanophenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
(130) 4-methyl-17 β -(4-methoxyphenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
20 (131) 4-methyl-17 β -(3-bromo-5-methoxyphenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
(132) 4-methyl-17 β -(8-quinolylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
(133) 4-methyl-17 β -(3-cyanophenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
(134) 4-methyl-17 β -(4-chlorophenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
(135) 4-methyl-17 β -[(2-methylsufonyl)phenyl]sulfonamido)-4-aza-5 α -androst-1-ene-3-one;
25 (136) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- phenyl urea;
(137) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (2-trifluoromethyl)phenyl urea;
(138) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (3-trifluoromethyl)phenyl urea;
(139) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- 3-chlorophenyl urea;
(140) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (4-chloro-2-
30 trifluoromethylphenyl) urea;
(141) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- 3-acetylphenyl urea;
(142) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (5-chloro-2-
trifluoromethylphenyl) urea;
35 (143) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (2,4-[bistrifluoromethyl]phenyl)
urea;

- (144) N-[$(5\alpha,17\beta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (3,4-difluorophenyl) urea;
- (145) N-[$(5\alpha,17\beta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (2,3-dichlorophenyl) urea;
- (146) N-[$(5\alpha,17\beta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (2,4-dichlorophenyl) urea;
- (147) N-[$(5I,17\theta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (3,4-dichlorophenyl) urea;
- 5 (148) N-[$(5I,17\theta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-2-chlorophenyl) urea;
- (149) N-[$(5I,17\theta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (2-chloro-5-trifluoromethylphenyl) urea;
- (150) N-[$(5I,17\theta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (4-chloro-3-trifluoromethylphenyl) urea;
- 10 (151) N-[$(5I,17\theta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(4-trifluoromethyl)phenyl urea;
- (152) N-[$(5I,17\theta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(2,3-dimethylphenyl) urea;
- (153) N-[$(5I,17\theta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-methyl urea;
- (154) N-[$(5I,17\theta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-ethyl urea;
- (155) N-[$(5I,17\theta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-dimethyl urea;
- 15 (156) N-[$(5I,17\theta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-diethyl urea;
- (157) N-[$(5I,17\theta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl] urea;
and pharmaceutically acceptable salts thereof.

9. The method according to Claim 1 wherein the compound is selected from:

- 20. (1) 4-methyl- 17β -(2-trifluoromethylbenzamido)-4-aza- 5α -androst-1-ene-3-one;
- (2) 4-methyl- 17β -(3-trifluoromethylbenzamido)-4-aza- 5α -androst-1-ene-3-one;
- (3) 4-methyl- 17β -(2-methoxybenzamido)-4-aza- 5α -androst-1-ene-3-one;
- (4) 4-methyl- 17β -(3-methoxybenzamido)-4-aza- 5α -androst-1-ene-3-one;
- (5) 4-methyl- 17β -(4-methoxybenzamido)-4-aza- 5α -androst-1-ene-3-one;
- 25 (6) 4-methyl- 17β -(4-cyanobenzamido)-4-aza- 5α -androst-1-ene-3-one;
- (7) 4-methyl- 17β -(2-chloro-pyrid-3-yl-amido)-4-aza- 5α -androst-1-ene-3-one;
- (8) 4-methyl- 17β -(pyrid-2-yl-amido)-4-aza- 5α -androst-1-ene-3-one;
- (9) 4-methyl- 17β -(pyrid-4-yl-amido)-4-aza- 5α -androst-1-ene-3-one;
- (10) 4-methyl- 17β -(4-(carboxymethyl)benzamido)-4-aza- 5α -androst-1-ene-3-one;
- 30 (11) 4-methyl- 17β -(pyrid-3-yl-amido)-4-aza- 5α -androst-1-ene-3-one;
- (12) 4-methyl- 17β -(2-fluorobenzamido)-4-aza- 5α -androst-1-ene-3-one;
- (13) 4-methyl- 17β -(3-fluorobenzamido)-4-aza- 5α -androst-1-ene-3-one;
- (14) 4-methyl- 17β -(4-fluorobenzamido)-4-aza- 5α -androst-1-ene-3-one;
- (15) 4-methyl- 17β -(2,4-difluorobenzamido)-4-aza- 5α -androst-1-ene-3-one;
- 35 (16) 4-methyl- 17β -(4-chlorobutyramido)-4-aza- 5α -androst-1-ene-3-one;

- (17) 4-methyl-17 β -(4-bromobutyramido)-4-aza-5 α -androst-1-ene-3-one;
(18) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]- 2-bromoethyl ester;
(19) 4-methyl-17 β -(2-methylpropamido)-4-aza-5 α -androst-1-ene-3-one;
(20) 4-methyl-17 β -(2-methoxyacetamido)-4-aza-5 α -androst-1-ene-3-one;
5 (21) 4-methyl-17 β -(cyclopropamido)-4-aza-5 α -androst-1-ene-3-one;
(22) 4-methyl-17 β -(acetamido)-4-aza-5 α -androst-1-ene-3-one;
(23) 4-methyl-17 β -(trifluoroacetamido)-4-aza-5 α -androst-1-ene-3-one;
(24) 4-methyl-17 β -(3,3,3-trifluoropropionamido)-4-aza-5 α -androst-1-ene-3-one;
(25) 4-methyl-17 β -(2-cyanoacetamido)-4-aza-5 α -androst-1-ene-3-one;
10 (26) 4-methyl-17 β -(2-methyl-2-hydroxypropamido)-4-aza-5 α -androst-1-ene-3-one;
(27) 4-methyl-17 β -(thiazo-4-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(28) 4-methyl-17 β -(pyrimid-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(29) 4-methyl-17 β -(pyrimid-4-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(30) 4-methyl-17 β -(oxazo-5-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
15 (31) 4-methyl-17 β -(1-methyl-imidazo-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(32) 4-methyl-17 β -(furan-3-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(33) 4-methyl-17 β -(furan-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(34) 4-methyl-17 β -(thiophene-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(35) 4-methyl-17 β -(thiophene-3-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
20 (36) 4-methyl-17 β -(pyridazin-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(37) 4-methyl-17 β -(5-methyl-pyridin-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(38) 4-methyl-17 β -(5-chloro-pyridin-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(39) 4-methyl-17 β -(quinoline-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(40) 4-methyl-17 β -(quinoline-8-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
25 (41) 4-methyl-17 β -(isoquinoline-8-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(42) 4-methyl-17 β -(2-chlorobenzamido)-4-aza-5 α -androst-1-ene-3-one;
(43) 4-methyl-17 β -(3-chlorobenzamido)-4-aza-5 α -androst-1-ene-3-one;
(44) 4-methyl-17 β -(4-chlorobenzamido)-4-aza-5 α -androst-1-ene-3-one;
(45) 4-methyl-17 β -(formamido)-4-aza-5 α -androst-1-ene-3-one;
30 (46) 4-methyl-17 β -[(2-trifluoromethylphenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(47) 4-methyl-17 β -[(4-trifluoromethylphenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(48) 4-methyl-17 β -[(2-chlorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(49) 4-methyl-17 β -[(3-chlorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(50) 4-methyl-17 β -[(4-chlorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
35 (51) 4-methyl-17 β -[(2,4-dichlorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;

- (52) 4-methyl-17 β -[(3-fluorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(53) 4-methyl-17 β -[(4-fluorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(54) 4-methyl-17 β -[(2-methoxyphenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(55) 4-methyl-17 β -[(3-methoxyphenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
5 (56) 4-methyl-17 β -[(2,5-dimethoxyphenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(57) 4-methyl-17 β -[(3,5-difluorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(58) 4-methyl-17 β -[(3-nitrophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(59) 4-methyl-17 β -(tetrahydrofuran-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(60) 4-methyl-17 β -(tetrahydrofuran-3-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
10 (61) 4-methyl-17 β -(4-ethyl-pyridin-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(62) 4-methyl-17 β -(3-methyl-pyridin-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(63) 4-methyl-17 β -(3-bromo-pyridin-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(64) 4-methyl-17 β -(4-bromo-pyridin-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(65) 4-methyl-17 β -[(2-phenylcyclopropyl)amido]-4-aza-5 α -androst-1-ene-3-one;
15 (66) 4-methyl-17 β -[(2-fluorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(67) 4-methyl-17 β -[(pyrid-2-yl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(68) 4-methyl-17 β -[(pyrid-3-yl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(69) 4-methyl-17 β -[(4-methoxyphenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
20 (70) 4-methyl-17 β -[3-(2-fluorophenyl)propionamido]-4-aza-5 α -androst-1-ene-3-one;
(71) 4-methyl-17 β -[3-(4-fluorophenyl)propionamido]-4-aza-5 α -androst-1-ene-3-one;
(72) 4-methyl-17 β -[3-(4-fluoromethylphenyl)propionamido]-4-aza-5 α -androst-1-ene-3- one;
25 (73) 4-methyl-17 β -[3-(2-chlorophenyl)propionamido]-4-aza-5 α -androst-1-ene-3-one;
(74) 4-methyl-17 β -[3-(3-chlorophenyl)propionamido]-4-aza-5 α -androst-1-ene-3-one;
(75) 4-methyl-17 β -[3-(4-chlorophenyl)propionamido]-4-aza-5 α -androst-1-ene-3-one;
30 (76) 4-methyl-17 β -[2-trifluoromethylcinnamido]-4-aza-5 α -androst-1-ene-3-one;
(77) 4-methyl-17 β -[2-chlorocinnamido]-4-aza-5 α -androst-1-ene-3-one;
(78) 4-methyl-17 β -[2-fluorocinnamido]-4-aza-5 α -androst-1-ene-3-one;
(79) 4-methyl-17 β -[4-(2,5-dichlorophenyl)butanamido]-4-aza-5 α -androst-1-ene-3-one;
35 (80) 4-methyl-17 β -[4-(2-nitrophenyl)butanamido]-4-aza-5 α -androst-1-ene-3-one;
(81) 4-methyl-17 β -[4-(3,4-dimethoxyphenyl)butanamido]-4-aza-5 α -androst-1-ene-3-one;
(82) 4-methyl-17 β -[propionamido]-4-aza-5 α -androst-1-ene-3-one;
(83) 4-methyl-17 β -[butyramido]-4-aza-5 α -androst-1-ene-3-one;
(84) 4-methyl-17 β -[(2-methyl)cyclopropamido]-4-aza-5 α -androst-1-ene-3-one;
(85) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-phenyl ester;
35 (86) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-chlorophenyl ester;

- (87) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-nitrophenyl ester;
- (88) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-methylphenyl ester;
- (89) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-bromophenyl ester;
- (90) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-fluorophenyl ester;
- 5 (91) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-methoxophenyl ester;
- (92) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-nitrophenyl ester;
- (93) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-naphthyl ester;
- (94) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-trifluoromethylphenyl ester;
- 10 (95) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-ethyl ester;
- (96) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-benzyl ester;
- (97) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2,2,2-trifluoroethyl ester;
- (98) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-methoxyethyl ester;
- 15 (99) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-(2,2-dimethylpropyl) ester;
- (100) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-fluoroethyl ester;
- (101) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-allyl ester;
- (102) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-methyl ester;
- 20 (103) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-propynoic ester;
- (104) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-(2-methyl-2-butyl) ester;
- (105) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-(trifluoromethyl)phenyl ester;
- 25 (106) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-(trifluoromethyl)phenyl ester;
- (107) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-fluorophenyl ester;
- (108) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-fluorophenyl ester;
- (109) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-(2-hydroxy-1-ethyl) ester;
- 30 (110) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-methoxyphenyl ester;
- (111) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-methoxyphenyl ester;
- (112) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-ethoxyphenyl ester;
- (113) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-ethoxyphenyl ester;
- 35 (114) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-ethoxyphenyl ester;

- (115) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-chlorophenyl ester;
- (116) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-chlorophenyl ester;
- (117) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-(trifluoromethoxy)phenyl ester;
- 5 (118) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-(trifluoromethoxy)phenyl ester;
- (119) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-propyl ester;
- (120) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-propyl ester;
- (121) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-butyl ester;
- 10 (122) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-hexyl ester;
- (123) 4-methyl-17 β -(phenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
- (124) 4-methyl-17 β -(2-trifluoromethylphenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
- (125) 4-methyl-17 β -(3-trifluoromethylphenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
- (126) 4-methyl-17 β -(2-chlorophenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
- 15 (127) 4-methyl-17 β -(3-chlorophenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
- (128) 4-methyl-17 β -(2-trifluoromethoxyphenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
- (129) 4-methyl-17 β -(2-cyanophenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
- (130) 4-methyl-17 β -(4-methoxyphenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
- (131) 4-methyl-17 β -(3-bromo-5-methoxyphenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
- 20 (132) 4-methyl-17 β -(8-quinolylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
- (133) 4-methyl-17 β -(3-cyanophenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
- (134) 4-methyl-17 β -(4-chlorophenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
- (135) 4-methyl-17 β -[(2-methylsufonyl)phenyl]sulfonamido)-4-aza-5 α -androst-1-ene-3-one;
- (136) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- phenyl urea;
- 25 (137) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (2-trifluoromethyl)phenyl urea;
- (138) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (3-trifluoromethyl)phenyl urea;
- (139) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- 3-chlorophenyl urea;
- (140) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (4-chloro-2-trifluoromethylphenyl) urea;
- 30 (141) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- 3-acetylphenyl urea;
- (142) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (5-chloro-2-trifluoromethylphenyl) urea;
- (143) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (2,4-[bistrifluoromethyl]phenyl) urea;
- 35 (144) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (3,4-difluorophenyl) urea;

- (145) N-[$(5\alpha,17\beta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (2,3-dichlorophenyl) urea;
- (146) N-[$(5\alpha,17\beta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (2,4-dichlorophenyl) urea;
- (147) N-[$(5\beta,17\beta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (3,4-dichlorophenyl) urea;
- (148) N-[$(5\beta,17\beta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-2-chlorophenyl) urea;
- 5 (149) N-[$(5\beta,17\beta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (2-chloro-5-trifluoromethylphenyl) urea;
- (150) N-[$(5\beta,17\beta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (4-chloro-3-trifluoromethylphenyl) urea;
- (151) N-[$(5\beta,17\beta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(4-trifluoromethyl)phenyl urea;
- 10 (152) N-[$(5\beta,17\beta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(2,3-dimethylphenyl) urea;
- (153) N-[$(5\beta,17\beta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-methyl urea;
- (154) N-[$(5\beta,17\beta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-ethyl urea;
- (155) N-[$(5\beta,17\beta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-dimethyl urea;
- (156) N-[$(5\beta,17\beta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-diethyl urea;
- 15 (157) N-[$(5\beta,17\beta)$ -4-methyl-3-oxo-4-azaandrost-1-en-17-yl] urea;
and a pharmaceutically acceptable salts thereof.

10. The method according to Claim 6, additionally comprising the administration of a bone-strengthening agent selected from:

- 20 (a) estrogen or an estrogen derivative, alone or in combination with a progestin or progestin derivative,
- (b) a bisphosphonate,
- (c) an antiestrogen or a selective estrogen receptor modulator,
- (d) an osteoclast integrin inhibitor,
- 25 (e) a cathepsin K inhibitor,
- (f) an HMG-CoA reductase inhibitor,
- (g) an osteoclast vacuolar ATPase inhibitor,
- (h) an antagonist of VEGF binding to osteoclast receptors,
- (i) a peroxisome proliferator-activated receptor γ ,
- 30 (j) calcitonin,
- (k) a calcium receptor antagonist,
- (l) parathyroid hormone,
- (m) a growth hormone secretagogue,
- (n) human growth hormone,
- 35 (o) insulin-like growth factor,

- (p) a P-38 protein kinase inhibitor,
 - (q) bone morphogenic protein,
 - (r) an inhibitor of BMP antagonism,
 - (s) a prostaglandin derivative,
 - (t) vitamin D or vitamin D derivative,
 - (u) vitamin K or vitamin K derivative,
 - (v) ipriflavone,
 - (w) fluoride salts, and
 - (x) dietary calcium supplement.

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11. The method according to Claim 10, wherein:

- 15 (a) the estrogen or estrogen derivative, alone or in combination with a progestin or progestin derivative is selected from: conjugated estrogen, equine estrogen, 17 β -estradiol, estrone, 17 β -ethynodiol, alone or in combination with an agent selected from norethindrone and medroxyprogesterone acetate;

(b) the bisphosphonate is selected from:

(1) 4-amino-1-hydroxybutylidene-1,1-bisphosphonic acid,

(2) N-methyl-4-amino-hydroxybutylidene-1,1-bisphosphonic acid,

(3) 4-(N,N-dimethylamino)-1-hydroxybutylidene-1,1-bisphosphonic acid,

(4) 3-amino-1-hydroxypropylidene-1,1-bisphosphonic acid,

(5) 3-(N,N-dimethylamino)-1-hydroxypropylidene-1,1-bisphosphonic acid,

(6) 1-hydroxy-3-(N-methyl-N-pentylamino)propylidene-1,1-bisphosphonic acid,

(7) 1-hydroxy-2-(3-pyridyl)ethylidene-1,1-bisphosphonic acid,

(8) 4-(hydroxymethylene-1,1-bisphosphonic acid)piperidine,

(9) (1-hydroxyethylidene)-bisphosphonate,

(10) (dichloromethylene)-bisphosphonate,

(11) [1-hydroxy-2-imidazopyridin-(1,2-a)-3-ylethylidene] bisphosphonate,

(12) (6-amino-1-hydroxyhexylidene)bisphosphonate, and

(13) [1-hydroxy-2-(1H-imidazole-1-yl)ethylidene]bisphosphonate;

20 (c) the antiestrogen or selective estrogen receptor modulator is selected from: raloxifene, clomiphene, zuclomiphene, enclomiphene, nafoxidene, CI-680, CI-628, CN-55,945-27, Mer-25, U-11, 555A, U-100A tamoxifen, lasofoxifene, toremifene, azorxifene, EM-800, EM-652, TSE 424, droloxifene, idoxifene, and levormeloxifene;

25 (d) the osteoclast integrin inhibitor is selected from an alphavbeta3 inhibitor or mixed alphavbeta3 and alphavbeta5 inhibitor;

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- (e) the HMG-CoA reductase inhibitor is selected from lovastatin, simvastatin, dihydroxy-open acid simvastatin, pravastatin, fluvastatin, atorvastatin, cerivastatin, rosuvastatin, pitavastatin, and nisvastatin;
- (f) calcitonin is salmon calcitonin administered as a nasal spray;
- 5 (g) bone morphogenic protein is selected from BMP 2, BMP 3, BMP 5, BMP 6, BMP 7, TGF beta, and GDF5;
- (h) insulin-like growth factor is selected from IGF I and IGF II alone or in combination with IGF binding protein 3;
- 10 (i) the prostaglandin derivative is selected from agonists of prostaglandin receptor EP1, EP2, EP4, FP, and IP;
- (j) the fibroblast growth factor is selected from aFGF and bFGF;
- (k) parathyroid hormone or parathyroid hormone analog is selected from parathyroid hormone subcutaneous injection, human PTH, 1-84, 1-34 and other partial sequences, native or with substitutions;
- 15 (l) vitamin D or vitamin D derivative is selected from: natural vitamin D, 25-OH-vitamin D3, 1 α ,25(OH)₂ vitamin D3, 1 α -OH-vitamin D3, 1 α -OH-vitamin D2, dihydrotachysterol, 26,27-F₆-1 α ,25(OH)₂ vitamin D3, 19-nor-1 α ,25(OH)₂ vitamin D3, 22-oxacalcitriol, calcipotriol, 1 α ,25(OH)₂-16-ene-23-yne-vitamin D3 (Ro 23-7553), EB1089, 20-epi-1 α ,25(OH)₂ vitamin D3, KH1060, ED71, 1 α ,24(S)-(OH)₂ vitamin D3, and 1 α ,24(R)-(OH)₂ vitamin D3;
- 20 (m) the dietary calcium supplement is selected from calcium carbonate, calcium citrate, and natural calcium salts;
- (n) the fluoride salts are selected from: sodium fluoride and monosodium fluorophosphate (MFP);
- 25 and pharmaceutically acceptable salts thereof.

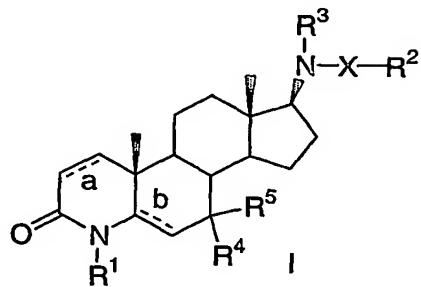
12. The method according to Claim 11, additionally comprising the administration of 4-amino-1-hydroxybutylidene-1,1-bisphosphonic acid monosodium salt, trihydrate.

30

13. The method according to Claim 1, additionally comprising the administration of 4-amino-1-hydroxybutylidene-1,1-bisphosphonic acid monosodium salt, trihydrate.

35

14. A compound of structural formula I:



wherein:

"b" is a single bond, and "a" is a double bond;

5 X is selected from:

- (A) -C(O)-,
- (B) -C(O)-O-,
- (C) -C(O)-N(R⁷)-, and
- (D) -S(O)_n-;

10 R¹ is methyl;

R2 is selected from:

(A) aryl, substituted by one substituents selected from:

- (1) fluoro,
- (2) chloro,
- (3) bromo,
- (4) methyl,
- (5) methoxy,
- (6) ethoxy,
- (7) hydroxy,
- (8) trifluoromethyl,
- (9) trifluoromethoxy, and
- (10) acetyl;.

15 (B) C₁₋₆ alkyl, unsubstituted or substituted with one or two substituents independently selected from:

- (1) fluoro,
- (2) chloro,
- (3) cyano,
- (4) methoxy,
- (5) hydroxy, and

- (6) trifluoromethyl;
- (C) trifluoromethyl;
- (D) phenyl-C₁₋₆ alkyl-, wherein phenyl is unsubstituted or substituted with one or two substituents independently selected from:
 - 5 (1) halogen,
 - (2) methyl,
 - (3) C₁₋₂ alkoxy,
 - (4) hydroxy,
 - (5) nitro,
- 10 (6) trifluoromethyl, and
 - (7) trifluoromethoxy;.
- (E) C₂₋₃ alkenyl;
- (F) phenyl C₂alkenyl, wherein phenyl is unsubstituted or substituted with a substituent selected from:
 - 15 (1) halogen,
 - (2) methyl, and
 - (3) trifluoromethyl.
- (G) cycloheteroalkyl, either unsubstituted or substituted with one or two substituents selected from:
 - 20 (1) fluoro,
 - (2) phenyl,
 - (3) C₁₋₄ alkyl,
 - (4) C₁₋₃ alkoxy,
 - (5) hydroxy,
- 25 (6) trifluoromethyl,
- (7) oxo, and
 - (8) spiro C₃₋₈ cycloalkyl;

provided that any heteroatom substituent is bonded to a carbon atom in the cycloheteroalkyl ring;
R³ is hydrogen;

30 R⁴ and R⁵ are each hydrogen;

R⁶ is hydrogen;

R⁷ is hydrogen,

n is 2;

and pharmaceutically acceptable salts thereof.

15. A compound selected from:

- (1) 4-methyl-17 β -(2-trifluoromethylbenzamido)-4-aza-5 α -androst-1-ene-3-one;
- (2) 4-methyl-17 β -(3-trifluoromethylbenzamido)-4-aza-5 α -androst-1-ene-3-one;
- (3) 4-methyl-17 β -(2-methoxybenzamido)-4-aza-5 α -androst-1-ene-3-one;
- 5 (4) 4-methyl-17 β -(3-methoxybenzamido)-4-aza-5 α -androst-1-ene-3-one;
- (5) 4-methyl-17 β -(4-methoxybenzamido)-4-aza-5 α -androst-1-ene-3-one;
- (6) 4-methyl-17 β -(4-cyanobenzamido)-4-aza-5 α -androst-1-ene-3-one;
- (7) 4-methyl-17 β -(2-chloro-pyrid-3-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
- (8) 4-methyl-17 β -(pyrid-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
- 10 (9) 4-methyl-17 β -(pyrid-4-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
- (10) 4-methyl-17 β -(4-(carboxymethyl)benzamido)-4-aza-5 α -androst-1-ene-3-one;
- (11) 4-methyl-17 β -(pyrid-3-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
- (12) 4-methyl-17 β -(2-fluorobenzamido)-4-aza-5 α -androst-1-ene-3-one;
- (13) 4-methyl-17 β -(3-fluorobenzamido)-4-aza-5 α -androst-1-ene-3-one;
- 15 (14) 4-methyl-17 β -(4-fluorobenzamido)-4-aza-5 α -androst-1-ene-3-one;
- (15) 4-methyl-17 β -(2,4-difluorobenzamido)-4-aza-5 α -androst-1-ene-3-one;
- (16) 4-methyl-17 β -(4-chlorobutyramido)-4-aza-5 α -androst-1-ene-3-one;
- (17) 4-methyl-17 β -(4-bromobutyramido)-4-aza-5 α -androst-1-ene-3-one;
- (18) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]- 2-bromoethyl ester;
- 20 (19) 4-methyl-17 β -(2-methylpropamido)-4-aza-5 α -androst-1-ene-3-one;
- (20) 4-methyl-17 β -(2-methoxyacetamido)-4-aza-5 α -androst-1-ene-3-one;
- (21) 4-methyl-17 β -(cyclopropamido)-4-aza-5 α -androst-1-ene-3-one;
- (22) 4-methyl-17 β -(acetamido)-4-aza-5 α -androst-1-ene-3-one;
- (23) 4-methyl-17 β -(trifluoroacetamido)-4-aza-5 α -androst-1-ene-3-one;
- 25 (24) 4-methyl-17 β -(3,3,3-trifluoropropionamido)-4-aza-5 α -androst-1-ene-3-one;
- (25) 4-methyl-17 β -(2-cyanoacetamido)-4-aza-5 α -androst-1-ene-3-one;
- (26) 4-methyl-17 β -(2-methyl-2-hydroxypropamido)-4-aza-5 α -androst-1-ene-3-one;
- (27) 4-methyl-17 β -(thiazo-4-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
- (28) 4-methyl-17 β -(pyrimid-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
- 30 (29) 4-methyl-17 β -(pyrimid-4-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
- (30) 4-methyl-17 β -(oxazo-5-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
- (31) 4-methyl-17 β -(1-methyl-imidazo-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
- (32) 4-methyl-17 β -(furan-3-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
- (33) 4-methyl-17 β -(furan-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
- 35 (34) 4-methyl-17 β -(thiophene-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;

- (35) 4-methyl-17 β -(thiophene-3-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(36) 4-methyl-17 β -(pyridazin-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(37) 4-methyl-17 β -(5-methyl-pyridin-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(38) 4-methyl-17 β -(5-chloro-pyridin-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
5 (39) 4-methyl-17 β -(quinoline-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(40) 4-methyl-17 β -(quinoline-8-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(41) 4-methyl-17 β -(isoquinoline-8-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(42) 4-methyl-17 β -(2-chlorobenzamido)-4-aza-5 α -androst-1-ene-3-one;
(43) 4-methyl-17 β -(3-chlorobenzamido)-4-aza-5 α -androst-1-ene-3-one;
10 (44) 4-methyl-17 β -(4-chlorobenzamido)-4-aza-5 α -androst-1-ene-3-one;
(45) 4-methyl-17 β -(formamido)-4-aza-5 α -androst-1-ene-3-one;
(46) 4-methyl-17 β -[(2-trifluoromethylphenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(47) 4-methyl-17 β -[(4-trifluoromethylphenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
15 (48) 4-methyl-17 β -[(2-chlorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(49) 4-methyl-17 β -[(3-chlorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(50) 4-methyl-17 β -[(4-chlorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(51) 4-methyl-17 β -[(2,4-dichlorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
20 (52) 4-methyl-17 β -[(3-fluorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(53) 4-methyl-17 β -[(4-fluorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(54) 4-methyl-17 β -[(2-methoxyphenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
25 (55) 4-methyl-17 β -[(3-methoxyphenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(56) 4-methyl-17 β -[(2,5-dimethoxyphenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(57) 4-methyl-17 β -[(3,5-difluorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(58) 4-methyl-17 β -[(3-nitrophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
30 (59) 4-methyl-17 β -(tetrahydrofuran-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(60) 4-methyl-17 β -(tetrahydrofuran-3-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(61) 4-methyl-17 β -(4-ethyl-pyridin-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(62) 4-methyl-17 β -(3-methyl-pyridin-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
35 (63) 4-methyl-17 β -(3-bromo-pyridin-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(64) 4-methyl-17 β -(4-bromo-pyridin-2-yl-amido)-4-aza-5 α -androst-1-ene-3-one;
(65) 4-methyl-17 β -[(2-phenylcyclopropyl)amido]-4-aza-5 α -androst-1-ene-3-one;
(66) 4-methyl-17 β -[(2-fluorophenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(67) 4-methyl-17 β -[(pyrid-2-yl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
(68) 4-methyl-17 β -[(pyrid-3-yl)acetamido]-4-aza-5 α -androst-1-ene-3-one;
35 (69) 4-methyl-17 β -[(4-methoxyphenyl)acetamido]-4-aza-5 α -androst-1-ene-3-one;

- (70) 4-methyl-17 β -[3-(2-fluorophenyl)propionamido]-4-aza-5 α -androst-1-ene-3-one;
- (71) 4-methyl-17 β -[3-(4-fluorophenyl)propionamido]-4-aza-5 α -androst-1-ene-3-one;
- (72) 4-methyl-17 β -[3-(4-rifluoromethylphenyl)propionamido]-4-aza-5 α -androst-1-ene-3-one;
- (73) 4-methyl-17 β -[3-(2-chlorophenyl)propionamido]-4-aza-5 α -androst-1-ene-3-one;
- 5 (74) 4-methyl-17 β -[3-(3-chlorophenyl)propionamido]-4-aza-5 α -androst-1-ene-3-one;
- (75) 4-methyl-17 β -[3-(4-chlorophenyl)propionamido]-4-aza-5 α -androst-1-ene-3-one;
- (76) 4-methyl-17 β -[2-trifluoromethylcinnamido]-4-aza-5 α -androst-1-ene-3-one;
- (77) 4-methyl-17 β -[2-chlorocinnamido]-4-aza-5 α -androst-1-ene-3-one;
- (78) 4-methyl-17 β -[2-fluorocinnamido]-4-aza-5 α -androst-1-ene-3-one;
- 10 (79) 4-methyl-17 β -[4-(2,5-dichlorophenyl)butanamido]-4-aza-5 α -androst-1-ene-3-one;
- (80) 4-methyl-17 β -[4-(2-nitrophenyl)butanamido]-4-aza-5 α -androst-1-ene-3-one;
- (81) 4-methyl-17 β -[4-(3,4-dimethoxyphenyl)butanamido]-4-aza-5 α -androst-1-ene-3-one;
- (82) 4-methyl-17 β -[propionamido]-4-aza-5 α -androst-1-ene-3-one;
- (83) 4-methyl-17 β -[butyramido]-4-aza-5 α -androst-1-ene-3-one;
- 15 (84) 4-methyl-17 β -[(2-methyl)cyclopropamido]-4-aza-5 α -androst-1-ene-3-one;
- (85) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-phenyl ester;
- (86) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-chlorophenyl ester;
- (87) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-nitrophenyl ester;
- (88) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-methylphenyl ester;
- 20 (89) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-bromophenyl ester;
- (90) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-fluorophenyl ester;
- (91) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-methoxophenyl ester;
- (92) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-nitrophenyl ester;
- (93) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-naphthyl ester;
- 25 (94) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-trifluoromethylphenyl ester;
- (95) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-ethyl ester;
- (96) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-benzyl ester;
- (97) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2,2,2-trifluoroethyl ester;
- 30 (98) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-methoxyethyl ester;
- (99) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-(2,2-dimethylpropyl) ester;
- (100) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-fluoroethyl ester;
- 35 (101) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-allyl ester;

- (102) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-methyl ester;
- (103) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-propynoic ester;
- (104) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-(2-methyl-2-butyl) ester;
- 5 (105) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-(trifluoromethyl)phenyl ester;
- (106) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-(trifluoromethyl)phenyl ester;
- (107) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-fluorophenyl ester;
- 10 (108) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-fluorophenyl ester;
- (109) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-(2-hydroxy-1-ethyl) ester;
- (110) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-methoxyphenyl ester;
- (111) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-methoxyphenyl ester;
- 15 (112) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-ethoxyphenyl ester;
- (113) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-ethoxyphenyl ester;
- (114) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-ethoxyphenyl ester;
- (115) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-chlorophenyl ester;
- (116) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-chlorophenyl ester;
- 20 (117) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-(trifluoromethoxy)phenyl ester;
- (118) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-(trifluoromethoxy)phenyl ester;
- (119) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-propyl ester;
- 25 (120) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-propyl ester;
- (121) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-butyl ester;
- (122) Carbamic acid, [(5 α ,17 β)-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-hexyl ester;
- (123) 4-methyl-17 β -(phenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
- (124) 4-methyl-17 β -(2-trifluoromethylphenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
- 30 (125) 4-methyl-17 β -(3-trifluoromethylphenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
- (126) 4-methyl-17 β -(2-chlorophenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
- (127) 4-methyl-17 β -(3-chlorophenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
- (128) 4-methyl-17 β -(2-trifluoromethoxyphenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
- (129) 4-methyl-17 β -(2-cyanophenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
- 35 (130) 4-methyl-17 β -(4-methoxyphenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;

- (131) 4-methyl-17 β -(3-bromo-5--methoxyphenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
(132) 4-methyl-17 β -(8-quinolylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
(133) 4-methyl-17 β -(3-cyanophenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
(134) 4-methyl-17 β -(4-chlorophenylsulfonamido)-4-aza-5 α -androst-1-ene-3-one;
- 5 (135) 4-methyl-17 β -[(2-methylsufonyl)phenyl]sulfonamido)-4-aza-5 α -androst-1-ene-3-one;
(136) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- phenyl urea;
(137) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(2-trifluoromethyl)phenyl urea;
(138) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(3-trifluoromethyl)phenyl urea;
(139) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(3-chlorophenyl urea);
- 10 (140) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(4-chloro-2-trifluoromethylphenyl) urea;
(141) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(3-acetylphenyl urea);
(142) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(5-chloro-2-trifluoromethylphenyl) urea;
- 15 (143) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(2,4-[bistrifluoromethyl]phenyl) urea;
(144) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(3,4-difluorophenyl) urea;
(145) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(2,3-dichlorophenyl) urea;
(146) N-[(5 α ,17 β)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(2,4-dichlorophenyl) urea;
- 20 (147) N-[(5I,17 θ)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(3,4-dichlorophenyl) urea;
(148) N-[(5I,17 θ)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(2-chlorophenyl) urea;
(149) N-[(5I,17 θ)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(2-chloro-5-trifluoromethylphenyl) urea;
- 25 (150) N-[(5I,17 θ)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(4-chloro-3-trifluoromethylphenyl) urea;
(151) N-[(5I,17 θ)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(4-trifluoromethyl)phenyl urea;
(152) N-[(5I,17 θ)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(2,3-dimethylpheny) urea;
(153) N-[(5I,17 θ)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-methyl urea;
- 30 (154) N-[(5I,17 θ)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-ethyl urea;
(155) N-[(5I,17 θ)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-dimethyl urea;
(156) N-[(5I,17 θ)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-diethyl urea;
(157) N-[(5I,17 θ)-4-methyl-3-oxo-4-azaandrost-1-en-17-yl] urea;
and pharmaceutically acceptable salts thereof.

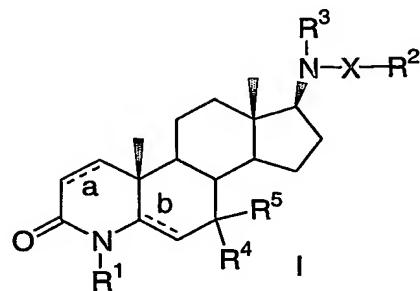
16. A composition comprising a compound according to Claim 14 and a pharmaceutically acceptable carrier.

17. The composition according to Claim 16 additionally comprising a bone-strengthening agent selected from:

- (a) estrogen or an estrogen derivative, alone or in combination with a progestin or progestin derivative,
- (b) a bisphosphonate,
- (c) an antiestrogen or a selective estrogen receptor modulator,
- 10 (d) an osteoclast integrin inhibitor,
- (e) a cathepsin K inhibitor,
- (f) an HMG-CoA reductase inhibitor,
- (g) an osteoclast vacuolar ATPase inhibitor,
- (h) an antagonist of VEGF binding to osteoclast receptors,
- 15 (i) a peroxisome proliferator-activated receptor γ ,
- (j) calcitonin,
- (k) a calcium receptor antagonist,
- (l) parathyroid hormone,
- (m) a growth hormone secretagogue,
- 20 (n) human growth hormone,
- (o) insulin-like growth factor,
- (p) a P-38 protein kinase inhibitor,
- (q) bone morphogenic protein,
- (r) an inhibitor of BMP antagonism,
- 25 (s) a prostaglandin derivative,
- (t) vitamin D or vitamin D derivative,
- (u) vitamin K or vitamin K derivative,
- (v) ipriflavone,
- (w) fluoride salts, and
- 30 (x) dietary calcium supplement.

18. The pharmaceutical composition according to Claim 16, additionally comprising 4-amino-1-hydroxybutylidene-1,1-bisphosphonic acid monosodium salt, trihydrate.

19. The use of a compound of structural formula I:



wherein:

"a" and "b" are independently selected from a single bond and a double bond;

5 X is selected from:

- (A) $-C(O)-$,
 - (B) $-C(O)-O-$,
 - (C) $-C(O)-N(R^7)-$, and
 - (D) $-S(O)_n-$;

10 R¹ is selected from:

- (A) C₁₋₃ alkyl,
 - (B) C₂₋₃ alkenyl,
 - (C) C₃₋₆ cycloalkyl,
 - (D) C₁₋₃ alkyl wherein one or more of the hydrogen atoms has been replaced with a

15 fluorine atom.

- (E) aryl, and
 (F) aryl-C₁-3 alkyl;

R² is selected from:

- (A) aryl, either unsubstituted or substituted with one to three substituents selected from:

(1) halogen,

(2) aryl,

(3) C₁-8 alkyl,

(4) C₃-8 cycloalkyl,

25 (5) C₃₋₈ cycloheteroalkyl,

(6) aryl C₁-6alkyl,

(7) amino C₀₋₆alkyl,

(8) C1-6 alkylamino

(9) (C₁-6 alkyl)₂amino C₀-6alk

- (10) aryl C₀₋₆ alkylamino C₀₋₆alkyl,
- (11) (aryl C₀₋₆ alkyl)₂amino C₀₋₆alkyl,
- (12) C₁₋₆ alkylthio,
- (13) aryl C₀₋₆alkylthio,
- 5 (14) C₁₋₆ alkylsulfinyl,
- (15) aryl C₀₋₆alkylsulfinyl,
- (16) C₁₋₆ alkylsulfonyl,
- (17) aryl C₀₋₆alkylsulfonyl,
- (18) C₁₋₆ alkoxy C₀₋₆alkyl,
- 10 (19) aryl C₀₋₆ alkoxy C₀₋₆alkyl,
- (20) hydroxycarbonyl C₀₋₆alkyl,
- (21) C₁₋₆ alkoxy carbonyl C₀₋₆alkyl,
- (22) aryl C₀₋₆ alkoxy carbonyl C₀₋₆alkyl,
- (23) hydroxycarbonyl C₁₋₆ alkyloxy,
- 15 (24) hydroxy C₀₋₆alkyl,
- (25) cyano,
- (26) nitro,
- (27) perfluoroC₁₋₄alkyl,
- (28) perfluoroC₁₋₄alkoxy,
- 20 (29) C₁₋₆ alkylcarbonyloxy,
- (30) aryl C₀₋₆alkylcarbonyloxy,
- (31) alkyl C₁₋₆ carbonylamino,
- (32) aryl C₀₋₆ alkylcarbonylamino,
- (33) C₁₋₆ alkylsulfonylamino,
- 25 (34) aryl C₀₋₆alkylsulfonylamino,
- (35) C₁₋₆ alkoxy carbonylamino,
- (36) aryl C₀₋₆ alkoxy carbonylamino,
- (37) C₁₋₆alkylaminocarbonylamino,
- (38) aryl C₀₋₆alkylaminocarbonylamino,
- 30 (39) (C₁₋₆alkyl)₂ aminocarbonylamino,
- (40) (aryl C₀₋₆alkyl)₂ aminocarbonylamino,
- (41) (C₁₋₆alkyl)₂ aminocarbonyloxy,
- (42) C₀₋₆ alkyl carbonyl C₀₋₆ alkyl,
- (43) aryl C₀₋₆ alkyl carbonyl C₀₋₆ alkyl, and
- 35 (44) (aryl C₀₋₆alkyl)₂ aminocarbonyloxy;

(B) C₁₋₈ alkyl, unsubstituted or substituted with one to three substituents independently selected from:

- (1) halogen,
- (2) C₁₋₈ alkyl,
- 5 (3) C₃₋₈ cycloalkyl,
- (4) C₃₋₈ cycloheteroalkyl,
- (5) amino,
- (6) C₁₋₆ alkylamino,
- (7) (C₁₋₆ alkyl)₂amino,
- 10 (8) aryl C₀₋₆ alkylamino,
- (9) (aryl C₀₋₆ alkyl)₂amino,
- (10) C₁₋₆ alkylthio,
- (11) aryl C₀₋₆ alkylthio,
- (12) C₁₋₆ alkylsulfinyl,
- 15 (13) aryl C₀₋₆ alkylsulfinyl,
- (14) C₁₋₆ alkylsulfonyl,
- (15) aryl C₀₋₆ alkylsulfonyl,
- (16) C₁₋₆ alkoxy,
- (17) aryl C₀₋₆ alkoxy,
- 20 (18) hydroxycarbonyl,
- (19) C₁₋₆ alkoxycarbonyl,
- (20) aryl C₀₋₆ alkoxycarbonyl,
- (21) hydroxycarbonyl C₁₋₆ alkyloxy,
- (22) hydroxy,
- 25 (23) cyano,
- (24) nitro,
- (25) perfluoroC₁₋₄alkyl,
- (26) perfluoroC₁₋₄alkoxy,
- (27) oxo,
- 30 (28) C₁₋₆ alkylcarbonyloxy,
- (29) aryl C₀₋₆ alkylcarbonyloxy,
- (30) alkyl C₁₋₆ carbonylamino,
- (31) aryl C₀₋₆ alkylcarbonylamino,
- (32) C₁₋₆ alkylsulfonylamino,
- 35 (33) aryl C₀₋₆ alkylsulfonylamino,

- (34) C₁₋₆ alkoxycarbonylamino,
(35) aryl C₀₋₆ alkoxycarbonylamino,
(36) C₁₋₆alkylaminocarbonylamino,
(37) aryl C₀₋₆alkylaminocarbonylamino,
5 (38) (C₁₋₆alkyl)₂ aminocarbonylamino,
(39) (aryl C₀₋₆alkyl)₂ aminocarbonylamino,
(40) (C₁₋₆alkyl)₂ aminocarbonyloxy,
(41) (aryl C₀₋₆alkyl)₂ aminocarbonyloxy, and
(42) spiro-C₃₋₈cycloalkyl;
- 10 (C) perfluoroC₁₋₆ alkyl,
(D) aryl-C₁₋₆ alkyl-, wherein aryl is unsubstituted or substituted with 1 to 3 substituents independently selected from:
(1) halogen,
(2) C₁₋₈ alkyl,
15 (3) C₃₋₈ cycloalkyl,
(4) aryl,
(5) aryl C₁₋₃ alkyl-,
(6) amino,
(7) amino C₁₋₆ alkyl-,
20 (8) C₁₋₃ acylamino,
(9) C₁₋₃ acylamino C₁₋₆ alkyl,
(10) C₁₋₆ alkylamino,
(11) C₁₋₆ alkylamino C₁₋₆ alkyl,
(12) di(C₁₋₆) alkylamino,
25 (13) di(C₁₋₆) alkylamino-C₁₋₆ alkyl,
(14) C₁₋₄ alkoxy,
(15) C₁₋₄ alkylthio,
(16) C₁₋₄ alkylsulfinyl,
(17) C₁₋₄ alkylsulfonyl,
30 (18) C₁₋₄ alkoxy C₁₋₆ alkyl,
(19) hydroxycarbonyl,
(20) hydroxycarbonyl C₁₋₆ alkyl,
(21) C₁₋₅ alkoxycarbonyl,
(22) C₁₋₃ alkoxycarbonyl C₁₋₆ alkyl,
35 (23) hydroxycarbonyl C₁₋₆ alkyloxy,

- (24) hydroxy,
 - (25) hydroxy C₁-6 alkyl,
 - (26) cyano,
 - (27) nitro,
 - (28) trifluoromethyl,
 - (29) trifluoromethoxy,
 - (30) C₁-5 alkylcarbonyloxy;

and wherein alkyl is substituted with one to three substituents selected from:

- (1) halogen,
 - (2) C₃-8 cycloalkyl,
 - (3) C₃-8 cycloheteroalkyl,
 - (4) amino,
 - (5) C₁-6 alkylamino,
 - (6) (C₁-6 alkyl)₂amino,
 - (7) aryl C₀-6 alkylamino,
 - (8) (aryl C₀-6 alkyl)₂amino,
 - (9) C₁-6 alkylthio,
 - (10) aryl C₀-6 alkylthio,
 - (11) C₁-6 alkylsulfinyl,
 - (12) aryl C₀-6 alkylsulfinyl,
 - (13) C₁-6 alkylsulfonyl,
 - (14) aryl C₀-6 alkylsulfonyl,
 - (15) C₁-6 alkoxy,
 - (16) aryl C₀-6 alkoxy,
 - (17) hydroxycarbonyl,
 - (18) C₁-6 alcoxycarbonyl,
 - (19) aryl C₀-6 alcoxycarbonyl,
 - (20) hydroxycarbonyl C₁-6 alkyloxy,
 - (21) hydroxy,
 - (22) cyano,
 - (23) nitro,
 - (24) trifluoroalkyl,
 - (25) trifluoroalkoxy,
 - (26) oxo,
 - (27) C₁-6 alkylcarbonyloxy,

- (28) aryl C₀₋₆ alkylcarbonyloxy,
(29) C₁₋₆ alkyl carbonylamino,
(30) aryl C₀₋₆ alkylcarbonylamino,
5 (31) C₁₋₆ alkylsulfonylamino,
(32) aryl C₀₋₆ alkylsulfonylamino,
(33) C₁₋₆ alkoxycarbonylamino,
(34) aryl C₀₋₆ alkoxy carbonylamino,
10 (35) C₁₋₆ alkylaminocarbonylamino,
(36) aryl C₀₋₆ alkylaminocarbonylamino,
(37) (C₁₋₆ alkyl)₂ aminocarbonylamino,
(38) (aryl C₀₋₆ alkyl)₂ aminocarbonylamino,
15 (39) (C₁₋₆ alkyl)₂ aminocarbonyloxy,
(40) (aryl C₀₋₆ alkyl)₂ aminocarbonyloxy, and
(41) spiro-C₃₋₈ cycloalkyl;
- 15 (E) C₂₋₈ alkenyl, unsubstituted or substituted with one to three substituents independently selected from:
- (1) halogen,
(2) C₁₋₈ alkyl,
20 (3) C₃₋₈ cycloalkyl,
(4) C₃₋₈ cycloheteroalkyl,
(5) amino,
(6) C₁₋₆ alkylamino,
(7) (C₁₋₆ alkyl)₂amino,
25 (8) aryl C₀₋₆ alkylamino,
(9) (aryl C₀₋₆ alkyl)₂amino,
(10) C₁₋₆ alkylthio,
(11) aryl C₀₋₆ alkylthio,
30 (12) C₁₋₆ alkylsulfinyl,
(13) aryl C₀₋₆ alkylsulfinyl,
(14) C₁₋₆ alkylsulfonyl,
(15) aryl C₀₋₆ alkylsulfonyl,
(16) C₁₋₆ alkoxy,
35 (17) aryl C₀₋₆ alkoxy,
(18) hydroxycarbonyl,
(19) C₁₋₆ alkoxy carbonyl,

(20) aryl C₀₋₆ alkoxycarbonyl,

(21) hydroxycarbonyl C₁₋₆ alkyloxy,

(22) hydroxy,

(23) cyano,

5

(24) nitro,

(25) perfluoroC₁₋₄alkyl,

(26) perfluoroC₁₋₄alkoxy,

(27) oxo,

(28) C₁₋₆ alkylcarbonyloxy,

10

(29) aryl C₀₋₆alkylcarbonyloxy,

(30) alkyl C₁₋₆ carbonylamino,

(31) aryl C₀₋₆ alkylcarbonylamino,

(32) C₁₋₆ alkylsulfonylamino,

(33) aryl C₀₋₆alkylsulfonylamino,

15

(34) C₁₋₆ alkoxycarbonylamino,

(35) aryl C₀₋₆ alkoxycarbonylamino,

(36) C₁₋₆alkylaminocarbonylamino,

(37) aryl C₀₋₆alkylaminocarbonylamino,

20

(38) (C₁₋₆alkyl)₂ aminocarbonylamino,

(39) (aryl C₀₋₆alkyl)₂ aminocarbonylamino,

(40) (C₁₋₆alkyl)₂ aminocarbonyloxy,

(41) (aryl C₀₋₆alkyl)₂ aminocarbonyloxy, and

(42) spiro-C₃₋₈cycloalkyl;

(F) aryl C₂₋₈ alkenyl, wherein aryl is unsubstituted or substituted with one to three
25 substituents independently selected from:

(1) halogen,

(2) C₁₋₈ alkyl,

(3) C₃₋₈ cycloalkyl,

(4) aryl,

30

(5) aryl C₁₋₃ alkyl-,

(6) amino,

(7) amino C₁₋₆ alkyl-,

(8) C₁₋₃ acylamino,

(9) C₁₋₃ acylamino C₁₋₆ alkyl,

35

(10) C₁₋₆ alkylamino,

- (11) C₁₋₆ alkylamino C₁₋₆ alkyl,
(12) di(C₁₋₆) alkylamino,
(13) di(C₁₋₆) alkylamino-C₁₋₆ alkyl,
5 (14) C₁₋₄ alkoxy,
(15) C₁₋₄ alkylthio,
(16) C₁₋₄ alkylsulfinyl,
(17) C₁₋₄ alkylsulfonyl,
(18) C₁₋₄ alkoxy C₁₋₆ alkyl,
10 (19) hydroxycarbonyl,
(20) hydroxycarbonyl C₁₋₆ alkyl,
(21) C₁₋₅ alkoxycarbonyl,
(22) C₁₋₃ alkoxycarbonyl C₁₋₆ alkyl,
(23) hydroxycarbonyl C₁₋₆ alkyloxy,
15 (24) hydroxy,
(25) hydroxy C₁₋₆ alkyl,
(26) cyano,
(27) nitro,
(28) trifluoromethyl,
20 (29) trifluoromethoxy, and
(30) C₁₋₅ alkylcarbonyloxy;
- (G) C₃₋₈ cycloalkyl, either unsubstituted or substituted with one to 3 substituents selected from:
- (1) halogen,
(2) aryl,
25 (3) C₁₋₈ alkyl,
(4) C₃₋₈ cycloalkyl,
(5) C₃₋₈ cycloheteroalkyl,
(6) aryl C₁₋₆alkyl,
(7) amino C₀₋₆alkyl,
30 (8) C₁₋₆ alkylamino C₀₋₆alkyl,
(9) (C₁₋₆ alkyl)₂amino C₀₋₆alkyl,
(10) aryl C₀₋₆ alkylamino C₀₋₆alkyl,
(11) (aryl C₀₋₆ alkyl)₂amino C₀₋₆alkyl,
35 (12) C₁₋₆ alkylthio,
(13) aryl C₀₋₆alkylthio,

- (14) C₁₋₆ alkylsulfinyl,
(15) aryl C₀₋₆alkylsulfinyl,
(16) C₁₋₆ alkylsulfonyl,
(17) aryl C₀₋₆alkylsulfonyl,
5 (18) C₁₋₆ alkoxy C₀₋₆alkyl,
(19) aryl C₀₋₆ alkoxy C₀₋₆alkyl,
(20) hydroxycarbonyl C₀₋₆alkyl,
(21) C₁₋₆ alkoxycarbonyl C₀₋₆alkyl,
10 (22) aryl C₀₋₆ alkoxycarbonyl C₀₋₆alkyl,
(23) hydroxycarbonyl C₁₋₆ alkyloxy,
(24) hydroxy C₀₋₆alkyl,
(25) cyano,
15 (26) nitro,
(27) perfluoroC₁₋₄alkyl,
(28) perfluoroC₁₋₄alkoxy,
(29) oxo,
(30) C₁₋₆ alkylcarbonyloxy,
20 (31) aryl C₀₋₆alkylcarbonyloxy,
(32) alkyl C₁₋₆ carbonylamino,
(33) aryl C₀₋₆ alkylcarbonylamino,
(34) C₁₋₆ alkylsulfonylamino,
25 (35) aryl C₀₋₆alkylsulfonylamino,
(36) C₁₋₆ alkoxycarbonylamino,
(37) aryl C₀₋₆ alkoxycarbonylamino,
(38) C₁₋₆alkylaminocarbonylamino,
30 (39) aryl C₀₋₆alkylaminocarbonylamino,
(40) (C₁₋₆alkyl)₂ aminocarbonylamino,
(41) (aryl C₀₋₆alkyl)₂ aminocarbonylamino,
(42) (C₁₋₆alkyl)₂ aminocarbonyloxy,
35 (43) (aryl C₀₋₆alkyl)₂ aminocarbonyloxy,
(44) C₀₋₆ alkylcarbonyl C₀₋₆ alky, and
(45) spiro-C₃₋₈cycloalkyl;
- (H) cycloheteroalkyl, unsubstituted or substituted with one to three substituents selected from:
- 35 (1) halogen,

- (2) aryl,
- (3) C₁₋₈ alkyl,
- (4) C₃₋₈ cycloalkyl,
- (5) C₃₋₈ cycloheteroalkyl,
- 5 (6) aryl C₁₋₆alkyl,
- (7) amino C₀₋₆alkyl,
- (8) C₁₋₆ alkylamino C₀₋₆alkyl,
- (9) (C₁₋₆ alkyl)₂amino C₀₋₆alkyl,
- (10) aryl C₀₋₆ alkylamino C₀₋₆alkyl,
- 10 (11) (aryl C₀₋₆ alkyl)₂amino C₀₋₆alkyl,
- (12) C₁₋₆ alkylthio,
- (13) aryl C₀₋₆alkylthio,
- (14) C₁₋₆ alkylsulfinyl,
- (15) aryl C₀₋₆alkylsulfinyl,
- 15 (16) C₁₋₆ alkylsulfonyl,
- (17) aryl C₀₋₆alkylsulfonyl,
- (18) C₁₋₆ alkoxy C₀₋₆alkyl,
- (19) aryl C₀₋₆ alkoxy C₀₋₆alkyl,
- (20) hydroxycarbonyl C₀₋₆alkyl,
- 20 (21) C₁₋₆ alkoxycarbonyl C₀₋₆alkyl,
- (22) aryl C₀₋₆ alkoxycarbonyl C₀₋₆alkyl,
- (23) hydroxycarbonyl C₁₋₆ alkyloxy,
- (24) hydroxy C₀₋₆alkyl,
- (25) cyano,
- 25 (26) nitro,
- (27) perfluoroC₁₋₄alkyl,
- (28) perfluoroC₁₋₄alkoxy,
- (29) oxo,
- (30) C₁₋₆ alkylcarbonyloxy,
- 30 (31) aryl C₀₋₆alkylcarbonyloxy,
- (32) alkyl C₁₋₆ carbonylamino,
- (33) aryl C₀₋₆ alkylcarbonylamino,
- (34) C₁₋₆ alkylsulfonylamino,
- (35) aryl C₀₋₆alkylsulfonylamino,
- 35 (36) C₁₋₆ alkoxycarbonylamino,

- (37) aryl C₀₋₆ alkoxy carbonyl amino,
 - (38) C₁₋₆ alkyl amine carbonyl amino,
 - (39) aryl C₀₋₆ alkyl amine carbonyl amino,
 - (40) (C₁₋₆ alkyl)₂ amine carbonyl amino,
 - (41) (aryl C₀₋₆ alkyl)₂ amine carbonyl amino,
 - (42) (C₁₋₆ alkyl)₂ amine carbonyloxy,
 - (43) (aryl C₀₋₆ alkyl)₂ amine carbonyloxy, and
 - (44) spiro-C₃₋₈cycloalkyl;

provided that any heteroatom substituent is bonded to a carbon atom in the cycloheteroalkyl ring;

R^3 is selected from H, perfluoro C1-8 alkyl, and C1-8 alkyl, unsubstituted or substituted with one to three halogen atoms, or R^2 and R^3 , together with the nitrogen atom, and the "X" moiety to which they are attached, form a 5- to 7-membered heterocyclic ring, optionally containing one or two additional heteroatoms selected from N, S, and O, optionally having one or more degrees of unsaturation, optionally fused to a 6-membered heteroaromatic or aromatic ring, either unsubstituted or substituted with one to three substituents selected from:

- (1) halogen,
 - (2) aryl,
 - (3) C₁-8 alkyl,
 - (4) C₃-8 cycloalkyl,
 - (5) C₃-8 cycloheteroalkyl,
 - (6) aryl C₁-6alkyl,
 - (7) amino C₀-6alkyl,
 - (8) C₁-6 alkylamino C₀-6alkyl,
 - (9) (C₁-6 alkyl)₂amino C₀-6alkyl,
 - (10) aryl C₀-6 alkylamino C₀-6alkyl,
 - (11) (aryl C₀-6 alkyl)₂amino C₀-6alkyl,
 - (12) C₁-6 alkylthio,
 - (13) aryl C₀-6alkylthio,
 - (14) C₁-6 alkylsulfinyl,
 - (15) aryl C₀-6alkylsulfinyl,
 - (16) C₁-6 alkylsulfonyl,
 - (17) aryl C₀-6alkylsulfonyl,
 - (18) C₁-6 alkoxy C₀-6alkyl,
 - (19) aryl C₀-6 alkoxy C₀-6alkyl,

- (20) hydroxycarbonyl C₀₋₆alkyl,
- (21) C₁₋₆ alkoxy carbonyl C₀₋₆alkyl,
- (22) aryl C₀₋₆ alkoxy carbonyl C₀₋₆alkyl,
- (23) hydroxycarbonyl C₁₋₆ alkyloxy,
- 5 (24) hydroxy C₀₋₆alkyl,
- (25) cyano,
- (26) nitro,
- (27) perfluoroC₁₋₄alkyl,
- (28) perfluoroC₁₋₄alkoxy,
- 10 (29) oxo,
- (30) C₁₋₆ alkylcarbonyloxy,
- (31) aryl C₀₋₆alkylcarbonyloxy,
- (32) C₁₋₆ alkyl carbonylamino,
- (33) aryl C₀₋₆ alkylcarbonylamino,
- 15 (34) C₁₋₆ alkylsulfonylamino,
- (35) aryl C₀₋₆alkylsulfonylamino,
- (36) C₁₋₆ alkoxy carbonylamino,
- (37) aryl C₀₋₆ alkoxy carbonylamino,
- (38) C₁₋₆alkylaminocarbonylamino,
- 20 (39) aryl C₀₋₆alkylaminocarbonylamino,
- (40) (C₁₋₆alkyl)₂ aminocarbonylamino,
- (41) (aryl C₀₋₆alkyl)₂ aminocarbonylamino,
- (42) (C₁₋₆alkyl)₂ aminocarbonyloxy,
- (43) (aryl C₀₋₆alkyl)₂ aminocarbonyloxy, and
- 25 (44) spiro-C₃₋₈cycloalkyl,

provided that any heteroatom substituent is bonded to a carbon atom in the heterocyclic ring;

R⁴ and R⁵ are each independently selected from

- (1) hydrogen,
- (2) halogen,
- (3) aryl,
- (4) C₁₋₈ alkyl,
- (5) C₃₋₈ cycloalkyl,
- (6) C₃₋₈ cycloheteroalkyl,
- 30 (7) aryl C₁₋₆alkyl,
- 35

- (8) amino C₀₋₆alkyl,
(9) C₁₋₆ alkylamino C₀₋₆alkyl,
(10) (C₁₋₆ alkyl)₂amino C₀₋₆alkyl,
(11) aryl C₀₋₆ alkylamino C₀₋₆alkyl,
5 (12) (aryl C₀₋₆ alkyl)₂amino C₀₋₆alkyl,
(13) C₁₋₆ alkylthio,
(14) aryl C₀₋₆alkylthio,
(15) C₁₋₆ alkylsulfinyl,
(16) aryl C₀₋₆alkylsulfinyl,
10 (17) C₁₋₆ alkylsulfonyl,
(18) aryl C₀₋₆alkylsulfonyl,
(19) C₁₋₆ alkoxy C₀₋₆alkyl,
(20) aryl C₀₋₆ alkoxy C₀₋₆alkyl,
(21) hydroxycarbonyl C₀₋₆alkyl,
15 (22) C₁₋₆ alkoxycarbonyl C₀₋₆alkyl,
(23) aryl C₀₋₆ alkoxycarbonyl C₀₋₆alkyl,
(24) hydroxycarbonyl C₁₋₆ alkyloxy,
(25) hydroxy C₀₋₆alkyl,
(26) cyano,
20 (27) nitro,
(28) perfluoroC₁₋₄alkyl,
(29) perfluoroC₁₋₄alkoxy,
(30) C₁₋₆ alkylcarbonyloxy,
(31) aryl C₀₋₆alkylcarbonyloxy,
25 (32) C₁₋₆ alkylcarbonylamino,
(33) aryl C₀₋₆ alkylcarbonylamino,
(34) C₁₋₆ alkylsulfonylamino,
(35) aryl C₀₋₆alkylsulfonylamino,
(36) C₁₋₆ alkoxycarbonylamino,
30 (37) aryl C₀₋₆ alkoxycarbonylamino,
(38) C₁₋₆alkylaminocarbonylamino,
(39) aryl C₀₋₆alkylaminocarbonylamino,
(40) (C₁₋₆alkyl)₂ aminocarbonylamino,
(41) (aryl C₀₋₆alkyl)₂ aminocarbonylamino,
35 (42) (C₁₋₆alkyl)₂ aminocarbonyloxy,

- (43) (aryl C₀₋₆alkyl)₂ aminocarbonyloxy, and
- (44) spiro-C₃₋₈cycloalkyl;

or, R⁴ and R⁵ together form an oxo group or =CH-R⁶ or a spiro C 3-7 cycloalkyl ring substituted with R⁶;

- 5 R⁶ is selected from hydrogen and C₁₋₄ alkyl;
R⁷ is selected from hydrogen, perfluoro C₁₋₈ alkyl, and C₁₋₈ alkyl, unsubstituted or substituted with one to three halogen atoms.
n is selected from: 0, 1, and 2;
and pharmaceutically acceptable salts thereof;
- 10 for the preparation of a medicament useful for modulating the androgen receptor in a tissue selective manner in a patient in need of such modulation.

20. The use according to Claim 19 wherein modulating the androgen receptor comprises agonizing the androgen receptor in a patient in need thereof.

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21. The use according to Claim 19 wherein modulating the androgen receptor is useful in treating a condition caused by androgen deficiency or which can be ameliorated by androgen administration selected from: osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, HIV-wasting, cancer cachexia, bone fracture, bone damage
20 following bone reconstructive surgery, muscular dystrophies, sarcopenia, frailty, aging skin, male hypogonadism, post-menopausal symptoms in women, female sexual dysfunction, premature ovarian failure, autoimmune disease, atherosclerosis, hypercholesterolemia, hyperlipidemia, aplastic anemia and other hematopoietic disorders, pancreatic cancer, renal cancer, arthritis and joint repair.